Serial No.: 10/812,075
Author Search

=> FILE.CAPLUS

FILE 'CAPLUS' ENTERED AT 10:39:22 ON 05 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 5 Jun 2007 VOL 146 ISS 24 FILE LAST UPDATED: 4 Jun 2007 (20070604/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

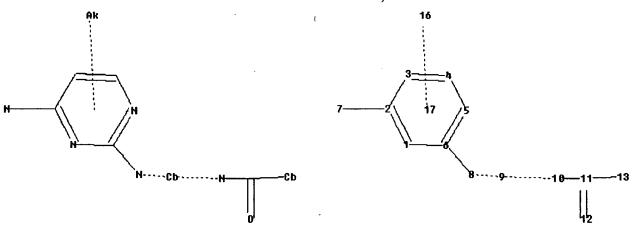
http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> D QUE L25 L8 STR

Αk

N_____N

Structure attributes must be viewed using STN Express query preparation: Uploading $\operatorname{strB.str}$



```
chain nodes :
7  8  9  10  11  12  13  16
ring nodes :
1  2  3  4  5  6
chain bonds :
2-7  6-8  8-9  9-10  10-11  11-12  11-13
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
2-7  6-8  8-9  9-10  10-11  11-12
exact bonds :
11-13
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

Connectivity:

16:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:Atom 16:CLASS 17:Atom

Generic attributes :

13:

Saturation : Unsaturated Type of Ring System : Monocyclic

Element Count : Node 9: Limited C,C5-8

Node 13: Limited

C,C6

L13	278	SEA	FILE=REGIST	RY SSS F	UL L8	
L14	3 :	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L13
L15	902	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	SEKIGUCHI Y?/AU
L16	32 :	SEA	FILE=CAPLUS	ABB=ON		KANUMA K?/AU
L17	21 :	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	OMODERA K?/AU
L18	19	SEA	FILE=CAPLUS	ABB=ON	PLU≃ON	BUSUJIMA T?/AU
T.1 9	2458	CEV	ETT.E-CADING	ARR-ON	PLII=ON	דומ/כיד ואמקיד

L20	9406	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	HAN S?/AU
L21	54	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	CASPER M?/AU
L22	757	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	KRAMER B?/AU
L23	92	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	SEMPLE G?/AU
L24	95	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	ZOU N?/AU
L25	3	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	(L15 OR L16 OR L17 OR L18 OR
		T.19	OP 1.20 OP 1.3	21 OP T.22	OP 1.23	OP 1.24\ AND 1.14

=> D IBIB ED ABS HITSTR 1-3 L25

L25 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:464826 CAPLUS Full-text

DOCUMENT NUMBER:

144:488666

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Yukihiro; Omodera, Katsunori; Busujima, Takeshi

; Tran, Thuy-Ahn; Han, Sangdong;

Casper, Martin; Brian, A. Kramer; Semple,

Graeme; Zou, Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan; Arena

Pharmaceutical Inc.

SOURCE:

Jpn. Kokai Tokkyo Koho, 781 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006124387	Α .	20060518	JP 2005-286311	20050930
PRIORITY APPLN. INFO.:			JP 2004-287659 A	A 20040930

OTHER SOURCE(S):

MARPAT 144:488666

ED Entered STN: 19 May 2006

GI

$$(T) p \longrightarrow (T) p \longrightarrow (T)$$

AB Title compds. [I, III, III; wherein R1 = (un) substituted (cyclo) alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide (IV) •TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data).

TT 771545-17-6P 771545-22-3P 773141-41-6P
773141-63-2P 773141-64-3P 773141-65-4P
773141-66-5P 773141-67-6P 773141-68-7P
773141-69-8P 773141-70-1P 773141-72-3P
773141-79-0P 773142-96-4P 773143-00-3P
773143-01-4P 773143-05-8P 773143-06-9P
773143-07-0P 773143-09-2P 773143-10-5P
773143-16-1P 773143-17-2P 773143-19-4P
773143-20-7P 773143-21-8P 773143-22-9P
773143-23-0P 773143-24-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MCH antagonist; preparation of quinolines, quinazolines, and pyrimidines

as

MCH antagonist for treatment of CNS disorders)

RN 771545-17-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-22-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-41-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-63-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 773141-64-3 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 773141-65-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-67-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-68-7 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

HC1

RN 773141-69-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 773141-70-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 773141-72-3 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3-chloro-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ H_2N & & & \\ & & & \\ \end{array}$$

HCl

RN 773141-79-0 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 771551-22-5 CMF C20 H25 Cl F N5 O

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2} \text{N} \end{array}$$

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 773142-96-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 773143-00-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-01-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-05-8 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 773143-06-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-07-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-09-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-10-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-

(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-16-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-17-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-19-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro- (9CI) (CA INDEX NAME)

RN 773143-20-7 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-21-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-22-9 CAPLUS .

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

RN 773143-23-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-24-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 771544-72-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771544-72-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

IT 771545-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant, or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771545-85-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

```
IT
    771543-92-1P 771543-93-2P 771543-95-4P
    771544-42-4P 771544-43-5P 771544-44-6P
    771544-45-7P 771544-46-8P 771544-47-9P
    771544-48-0P 771544-49-1P 771544-50-4P
    771544-68-4P 771544-99-1P 771545-01-8P
    771545-03-0P 771545-04-1P 771545-06-3P
    771545-08-5P 771545-10-9P 771545-12-1P
    771545-18-7P 771545-23-4P 771545-80-3P
    771545-83-6P 771546-31-7P 771546-33-9P
    771546-35-1P 771546-37-3P 771546-39-5P
    771546-41-9P 771546-43-1P 771546-47-5P
    771546-49-7P 771546-51-1P 771546-53-3P
    771546-55-5P 771546-57-7P 771546-59-9P
    771546-61-3P 771546-63-5P 771546-65-7P
    771546-67-9P 771546-69-1P 771546-71-5P
    771546-73-7P 771546-77-1P 771546-79-3P
    771549-06-5P 771549-30-5P 771549-32-7P
    771549-34-9P 771549-36-1P 771549-38-3P
    771549-40-7P 771549-42-9P 771549-44-1P
    771549-46-3P 771549-48-5P 771549-50-9P
    771549-52-1P 771549-54-3P 771549-56-5P
    771549-58-7P 771549-60-1P 771549-62-3P
    771549-64-5P 771549-66-7P 771549-68-9P
    771549-70-3P 771549-78-1P 771549-80-5P
    771549-82-7P 771549-86-1P 771550-50-6P
```

```
771550-52-8P 771550-54-0P 771550-56-2P
    771550-58-4P 771550-60-8P 771550-62-0P
    771550-64-2P 771550-66-4P 771550-68-6P
    771550-70-0P 771550-72-2P 771550-74-4P
    771550-76-6P 771550-78-8P 771550-80-2P
    771550-82-4P 771550-84-6P 771550-86-8P
    771550-88-0P 771550-90-4P 771550-92-6P
    771550-94-8P 771550-96-0P 771550-98-2P
    771551-00-9P 771551-02-1P 771551-04-3P
    771551-06-5P 771551-08-7P 771551-12-3P
    771551-14-5P 771551-16-7P 771551-18-9P
    771551-20-3P 771551-22-5P 771551-24-7P
    771551-26-9P 771551-28-1P 771551-30-5P
    771551-32-7P 771551-34-9P 771551-56-5P
    771551-58-7P 771551-60-1P 771551-62-3P
    771551-64-5P 771551-66-7P 771551-68-9P
    771551-70-3P 771551-72-5P 771551-74-7P
    771551-76-9P 771551-78-1P 771551-80-5P
    771551-82-7P 771551-84-9P 771551-86-1P
    771551-88-3P 771551-90-7P 771551-92-9P
    771551-94-1P 771551-96-3P 771551-98-5P
    771552-00-2P 771552-02-4P 771552-04-6P
    771552-06-8P 771552-14-8P 771552-16-0P
    771552-18-2P 771552-20-6P 771552-22-8P
    771552-26-2P 771553-00-5P 771555-36-3P
    771555-45-4P 771556-86-6P 771556-89-9P
    771556-90-2P 771557-07-4P 771557-21-2P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (melanin-concentrating hormone antagonist; preparation of quinolines,
quinazolines,
        and pyrimidines as melanin-concentrating hormone antagonist for treatment
of
        CNS disorders)
RN
     771543-92-1 CAPLUS
CN
    Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-
    pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI)
     INDEX NAME)
```

```
RN 771543-93-2 CAPLUS
CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

HCl

RN 771543-95-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, mono(trifluoroacetate) (9CI) (CAINDEX NAME)

CM 1

CRN 771552-18-2 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771544-42-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 771544-43-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-44-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-45-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 771544-46-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-47-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-48-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-49-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 771544-50-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-68-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771544-99-1 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771544-98-0 CMF C19 H23 Br2 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-01-8 CAPLUS

CN Benzamide, 3-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-5-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-00-7 CMF C20 H23 F4 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-03-0 CAPLUS

CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-02-9 CMF C20 H24 F3 N5 O2

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-04-1 CAPLUS

CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 771545-06-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-05-2 CMF C20 H25 F2 N5 O

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{EtNH} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-08-5 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-[(1-methylethyl)amino]-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-07-4 CMF C21 H27 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-10-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(cyclopropylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-09-6 CMF C21 H25 F2 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-12-1 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-11-0 CMF C19 H23 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CM 1

CRN 771545-17-6 CMF C21 H29 N5 O

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{2N} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-23-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-22-3 CMF C22 H28 F3 N5 O

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-80-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-83-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

HCl

RN 771546-31-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, monohydrochloride (9CI) (CF INDEX NAME)

RN 771546-33-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-35-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-37-3 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-39-5 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-41-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-43-1 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-47-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-49-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-51-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 771546-53-3 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-55-5 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-57-7 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 771546-59-9 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-61-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-63-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-65-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-67-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-69-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-71-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-73-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-77-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-

pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1(trifluoromethyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-79-3 CAPLUS

CN Benzamide, 3-bromo-4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771549-06-5 CAPLUS
CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-30-5 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771549-36-1 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771549-38-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-40-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-42-9 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-44-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-46-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-48-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-50-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \end{array}$$

RN 771549-52-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771549-54-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-56-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-58-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771549-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-62-3 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

RN 771549-66-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-68-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-70-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-78-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

RN 771549-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-82-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 771550-50-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-52-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-54-0 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-56-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

RN 771550-58-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-60-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{2} \\ \text{N} \end{array}$$

RN 771550-62-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,5-difluoro- (9CI) (CA INDEX NAME)

RN 771550-64-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,3,4-trifluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{2} \\ \text{N} \end{array}$$

RN 771550-66-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{2N} \end{array} \begin{array}{c} \text{N} \\ \text{H} \end{array}$$

RN 771550-68-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-70-0 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-72-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-74-4 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-76-6 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-78-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-80-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-82-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-84-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 771550-86-8 CAPLUS

CN Benzamide, 2-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-88-0 CAPLUS

CN Benzamide, 2-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-90-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 771550-92-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-94-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\underset{\mathsf{Me}_{2}\mathsf{N}}{\mathsf{N}} \overset{\mathsf{N}}{\underset{\mathsf{N}}{\mathsf{N}}} \overset{\mathsf{N}}{\underset{\mathsf{F}_{3}}{\mathsf{N}}}$$

RN 771550-96-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-98-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 771551-00-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-02-1 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-04-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

RN 771551-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \end{array}$$

RN 771551-08-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-12-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-14-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771551-16-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-18-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-20-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771551-22-5 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-24-7 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-26-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

$$Me$$
 Me
 N
 Me
 Me
 Me

RN 771551-28-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-30-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \end{array} \qquad \begin{array}{c} \text{N} \\ \text{N} \end{array}$$

RN 771551-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-56-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-58-7 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \end{array}$$

RN 771551-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-62-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-66-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 771551-68-9 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-70-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-72-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771551-74-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771551-76-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-78-1 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-82-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-84-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 771551-88-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-90-7 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-92-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

RN 771551-94-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-96-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-98-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-00-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 771552-02-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-04-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-06-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771552-14-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-16-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-18-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-20-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 771552-22-8 CAPLUS

CN Benzamide, N-[4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-nitro- (9CI) (CA INDEX NAME)

RN 771552-26-2 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771553-00-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771555-36-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771555-45-4 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771556-86-6 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771556-89-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771556-90-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771557-07-4 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 771557-21-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

L25 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:875033 CAPLUS Full-text

DOCUMENT NUMBER:

141:332214

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Kosuke
; Omodera, Katsunori; Busujima,
Tsuyoshi; Tran, Thuy-Anh; Han,
Sangdon; Casper, Martin; Kramer,
Bryan A.; Semple, Graeme; Zou,

Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co. Ltd., Japan

SOURCE:

Eur. Pat. Appl., 586 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPL:	ICATION NO.	DATE
EP 1464335	A2 2004	1006 EP 20	004-7651	20040330
R: AT, BE, CH,	DE, DK, ES,	FR, GB, GR,	IT, LI, LU, NL,	SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK -20041006 EP 2004-7651 20040330 EP 1464335 A2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK PRIORITY APPLN. INFO.: US 2003-458530P Ρ 20030331 US 2003-495911P 20030819 Р US 2003-510186P Ρ 20031009 US 2003-530360P Ρ 20031216 EP 2004-7651 20040330

ED Entered STN: 22 Oct 2004

GΙ

$$(T) p \xrightarrow{R^2} (T) p \xrightarrow{R^2} N \xrightarrow{R^2} (T) p \xrightarrow{N} L^{Y} R^1 III$$

$$(T) p \xrightarrow{N} N \xrightarrow{N} L^{Y} R^1 III$$

$$NMe_2 \qquad NMe_2 \qquad NMe_$$

Title compds. I, II, and III [wherein R1 = (un) substituted (cyclo)alkyl, AB (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV•TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial

infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia,

stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part III of three in a series covering the patent. IT 771544-72-0P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide 771545-17-6P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2yl]amino]cyclohexyl]benzamide 771545-22-3P, N-[cis-4-[[4-(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide 771545-85-8P, N-[cis-4-[[4-[Ethyl(methyl)amino]-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3,4difluorobenzamide 773141-41-6P, 4-Chloro-N-[cis-4-[[4-methyl-6-(methylamino) pyrimidin-2-yl]amino] cyclohexyl] -3-(trifluoromethyl) benzamide 773141-63-2P, 4-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-3-fluorobenzamide hydrochloride 773141-64-3P, 3-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide hydrochloride 773141-65-4P, N-[cis-4-[(4-Dimethylamino-6-methylpyrimidin-2yl)amino]cyclohexyl]-3,4,5-trifluorobenzamide hydrochloride 773141-66-5P, 3-Chloro-4-fluoro-N-[cis-4-[(5-methyl-4methylaminopyrimidin-2-yl)amino]cyclohexyl]benzamide hydrochloride 773141-67-6P, 4-Chloro-N-[cis-4-[(4-dimethylamino-5methylpyrimidin-2-yl)amino]cyclohexyl]-3-fluorobenzamide hydrochloride 773141-68-7P, 3-Chloro-N-[cis-4-[(4-dimethylamino-5methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide hydrochloride 773141-69-8P, N-[cis-4-[(4-Dimethylamino-5-methylpyrimidin-2y1)amino]cyclohexy1]-3,4,5-trifluorobenzamide hydrochloride 773141-70-1P, N-[cis-4-[(4-Dimethylamino-5-methylpyrimidin-2yl)amino]cyclohexyl]-3,5-difluorobenzamide hydrochloride 773141-72-3P, N-[cis-4-[(4-Amino-5-methylpyrimidin-2yl)amino]cyclohexyl]-3-chloro-4-fluorobenzamide hydrochloride 773141-79-0P, 3-Chloro-N-[cis-4-[(4-dimethylamino-5methylpyrimidin-2-yl)amino]cyclohexyl]-4-fluorobenzamide methanesulfonate 773142-96-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2yl]amino]cyclohexyl]-3,4-difluorobenzamide 773143-00-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethoxy) benzamide 773143-01-4P, 3,4-Dichloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 773143-05-8P, 3,5-Dichloro-N-[cis-4-[[4-(dimethylamino)-5methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 773143-06-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3,5bis(trifluoromethyl)benzamide 773143-07-0P, 4-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide 773143-09-2P, 3-Chloro-N-[cis-4-[[4-(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl] -4-(trifluoromethoxy)benzamide 773143-10-5P, N-[cis-4-[[4-(Dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-[2,2,2trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzamide 773143-16-1P, 4-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-3-fluorobenzamide 773143-17-2P, 3-Chloro-N-[cis-4-[(4-dimethylamino-6methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide 773143-19-4P, N-[cis-4-[(4-Dimethylamino-6-methylpyrimidin-2yl)amino]cyclohexyl]-3,4,5-trifluorobenzamide 773143-20-7P, 3-Chloro-4-fluoro-N-[cis-4-[(5-methyl-4-methylaminopyrimidin-2yl)amino]cyclohexyl]benzamide 773143-21-8P, 4-Chloro-N-[cis-4-[(4-dimethylamino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-3fluorobenzamide 773143-22-9P, 3-Chloro-N-[cis-4-[(4-

dimethylamino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-5-fluorobenzamide 773143-23-0P, N-[cis-4-[(4-Dimethylamino-5-methylpyrimidin-2yl)amino]cyclohexyl]-3,4,5-trifluorobenzamide 773143-24-1P, N-[cis-4-[(4-Dimethylamino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-3,5difluorobenzamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (MCH antagonist; preparation of quinolines, quinazolines, and pyrimidines as MCH antagonist for treatment of CNS disorders) 771544-72-0 CAPLUS RN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-CNpyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-17-6 CAPLUS
CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-22-3 CAPLUS
CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771545-85-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-41-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-methyl-6-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-63-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

HCl

RN 773141-65-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-66-5 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 773141-67-6 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 773141-68-7 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{2N} \end{array}$$

HCl

RN 773141-69-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Me
$$_{2}$$
N $_{H}$ $_{H}$ $_{H}$ $_{H}$ $_{H}$

RN 773141-70-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773141-72-3 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3-chloro-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ H_2N & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 773141-79-0 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monomethanesulfonate (9CI) (CA

INDEX NAME)

CM 1

CRN 771551-22-5

CMF C20 H25 C1 F N5 O

Relative stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 773142-96-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-00-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 773143-01-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \\ \end{array}$$

RN 773143-05-8 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2} \text{N} \\ \text{N} \end{array}$$

RN 773143-06-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 773143-07-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-09-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-10-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 773143-16-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-17-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-19-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro- (9CI) (CA INDEX NAME)

RN 773143-20-7 CAPLUS

CN Benzamide, 3-chloro-4-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-21-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 773143-22-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-5-fluoro-(9CI) (CA INDEX NAME)

RN 773143-23-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trifluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 773143-24-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L25 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:875032 CAPLUS Full-text

DOCUMENT NUMBER:

141:350191

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple, Graeme; Zou,

Ning

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co. Ltd., Japan

SOURCE: Eur. Pat. Appl., 586 pp.

CODEN: EPXXDW

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KIND APPLICATION NO. DATE DATE ______ ----_-----EP 2004-7651 20040330 20041006 EP 1464335 A2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK EP 2004-7651 EP 1464335 A2 20041006 20040330 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK 20030331 PRIORITY APPLN. INFO.: US 2003-458530P Ρ US 2003-495911P P 20030819 US 2003-510186P P 20031009 US 2003-530360P P 20031216 EP 2004-7651 A 20040330

ED Entered STN: 22 Oct 2004

GI

$$(T) p \xrightarrow{R^2} (T) p \xrightarrow{R^2} N \xrightarrow{L^2 R^1} II$$

$$(T) p \xrightarrow{R^2} N \xrightarrow{R^2} N \xrightarrow{L^2 R^1} III$$

$$(T) p \xrightarrow{N} L \xrightarrow{Y} R^1 IIII$$

$$NMe_2 \qquad NMe_2 \qquad NM$$

Title compds. I, II, and III [wherein R1 = (un) substituted (cyclo) alkyl, (cyclo) alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof) were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general

synthetic methods and phys. data for nearly 3400 invention compds. addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV•TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part II of three in a series covering the patent.

T7 771544-72-0P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide
771545-85-8P, N-[cis-4-[[4-[Ethyl(methyl)amino]-5-methylpyrimidin2-yl]amino]cyclohexyl]-3,4-difluorobenzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771544-72-0 CAPLUS
CN Benzamide, N-[cis-4]

Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-85-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

```
IT
     771543-92-1P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
    yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride
     771543-93-2P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
    methylpyrimidin-2-yl]amino]cyclohexyl]benzamide hydrochloride
     771543-95-4P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
    yl]amino]cyclohexyl]-3-methylbenzamide trifluoroacetate
     771544-42-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
    yl]amino]cyclohexyl]-3-methylbenzamide 771544-43-5P,
    N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
    methoxybenzamide 771544-44-6P, N-[cis-4-[[4-(Dimethylamino)-6-
     methylpyrimidin-2-yl]amino]cyclohexyl]-3-methoxybenzamide
     771544-45-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
    yl]amino]cyclohexyl]-4-methylbenzamide 771544-46-8P,
     4-Chloro-N-[cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-
    yl]amino]cyclohexyl]benzamide 771544-47-9P, 3-Chloro-N-[cis-4-
     [[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
     771544-48-0P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3,4-difluorobenzamide 771544-49-1P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
     (trifluoromethoxy) benzamide 771544-50-4P, N-[cis-4-[[4-
     (Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-fluorobenzamide
     771544-68-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide hydrochloride
     771544-99-1P, 3,5-Dibromo-N-[cis-4-[[5-methyl-4-
     (methylamino)pyrimidin-2-yl]amino]cyclohexyl]benzamide trifluoroacetate
     771545-01-8P, 3-Fluoro-N-[cis-4-[[5-methyl-4-
     (methylamino)pyrimidin-2-yl]amino]cyclohexyl]-5-(trifluoromethyl)benzamide
     trifluoroacetate 771545-03-0P, N-[cis-4-[[5-Methyl-4-
     (methylamino) pyrimidin-2-yl] amino] cyclohexyl] -4-
     (trifluoromethoxy) benzamide trifluoroacetate 771545-04-1P,
     N-[cis-4-[[5-Methyl-4-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]-3,5-
     bis(trifluoromethyl)benzamide hydrochloride 771545-06-3P
     771545-08-5P, 3,4-Difluoro-N-[cis-4-[[4-(isopropylamino)-5-
     methylpyrimidin-2-yl]amino]cyclohexyl]benzamide trifluoroacetate
     771545-10-9P 771545-12-1P, 3,4-Difluoro-N-[cis-4-[[5-
     methyl-4-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]benzamide
     trifluoroacetate 771545-18-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-
     dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide trifluoroacetate
     771545-23-4P 771545-80-3P, N-[cis-4-[[4-(Dimethylamino)-
     5-ethylpyrimidin-2-yl]amino]cyclohexyl]-3,4-difluorobenzamide
     771545-83-6P, N-[cis-4-[[4-[Ethyl(methyl)amino]-5-methylpyrimidin-
     2-yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride
     771546-31-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-3-methylbenzamide hydrochloride 771546-33-9P
     , N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
     (trifluoromethoxy) benzamide hydrochloride 771546-35-1P,
     N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
     (trifluoromethoxy) benzamide hydrochloride 771546-37-3P,
     3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
     yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide hydrochloride
     771546-39-5P, 4-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
     methylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide
     hydrochloride 771546-41-9P, 3,5-Dichloro-N-[cis-4-[[4-
     (dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
     hydrochloride 771546-43-1P, 3,4-Dichloro-N-[cis-4-[[4-
     (dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
     hydrochloride 771546-47-5P, N-[cis-4-[[4-(Dimethylamino)-5-
```

```
methylpyrimidin-2-yl]amino]cyclohexyl]-2-(methylsulfonyl)benzamide
771546-49-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-(methylsulfonyl)benzamide 771546-51-1P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
(methylsulfonyl)benzamide 771546-53-3P, Methyl
2-[[[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoate 771546-55-5P, Methyl
3-[[[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoate 771546-57-7P,
2-[[[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoic acid hydrochloride
771546-59-9P, 3-[[[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]amino]carbonyl]benzoic acid hydrochloride
771546-61-3P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-3,4-difluorobenzamide hydrochloride
771546-63-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)benzamide hydrochloride
771546-65-7P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide hydrochloride
771546-67-9P, 3-Chloro-N-[cis-4-[[4-(Dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethoxy)benzamide
hydrochloride 771546-69-1P, 4-Chloro-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
hydrochloride 771546-71-5P, 3,4-Dichloro-N-[cis-4-[[4-
(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
hydrochloride 771546-73-7P, N-[cis-4-[[4-(Dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-dimethoxybenzamide
771546-77-1P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-
(trifluoromethyl)ethyl]benzamide hydrochloride 771546-79-3P,
3-Bromo-4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide hydrochloride 771549-06-5P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3-methoxybenzamide 771549-30-5P, 3-Cyano-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-32-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3-methylbenzamide 771549-34-9P,
3-Chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771549-36-1P, 3-Bromo-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-38-3P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3,5-dimethoxybenzamide 771549-40-7P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3,5-bis(trifluoromethyl)benzamide 771549-42-9P,
3,4-Dichloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771549-44-1P, N-[cis-4-[[4-
(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-4-
(trifluoromethoxy) benzamide 771549-46-3P, 4-Cyano-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-48-5P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-4-methylbenzamide 771549-50-9P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
4-fluorobenzamide 771549-52-1P, 4-Chloro-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771549-54-3P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-2-methoxybenzamide 771549-56-5P,
4-Bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771549-58-7P, N-[cis-4-[[4-
(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-4-
(trifluoromethyl)benzamide 771549-60-1P, N-[cis-4-[[4-
```

```
(Dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-4-
ethoxybenzamide 771549-62-3P, 4-Bromo-N-[cis-4-[[4-
(dimethylamino) -5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-
methylbenzamide 771549-64-5P, N-[cis-4-[[4-(Dimethylamino)-5,6-
dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-fluoro-4-methylbenzamide
771549-66-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-4-fluoro-3-methylbenzamide 771549-68-9P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3-ethylbenzamide 771549-70-3P, N-[cis-4-[[4-(Dimethylamino)-5,6-
dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethoxy)benzamide
771549-78-1P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3,5-diethoxybenzamide 771549-80-5P,
N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl]-
3-ethoxybenzamide 771549-82-7P, N-[cis-4-[[4-(Dimethylamino)-5,6-
dimethylpyrimidin-2-yl]amino]cyclohexyl]-3-isopropoxybenzamide
771549-86-1P, N-[cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-
yl]amino]cyclohexyl]-3,4-difluorobenzamide 771550-50-6P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
(trifluoromethyl)benzamide 771550-52-8P, N-[cis-4-[[4-
(Dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-fluorobenzamide
771550-54-0P, 3-Bromo-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-56-2P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluorobenzamide 771550-58-4P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-dimethoxybenzamide
771550-60-8P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-2,4-difluorobenzamide 771550-62-0P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-2,5-
difluorobenzamide 771550-64-2P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-2,3,4-trifluorobenzamide
771550-66-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771550-68-6P, 4-tert-Butyl-N-[cis-
4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-70-0P, 4-Butyl-N-(cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-72-2P,
4-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771550-74-4P, 3-Cyano-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-76-6P, 4-Cyano-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-78-8P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-2-
methoxybenzamide 771550-80-2P, 4-Bromo-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-82-4P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-(trifluoromethyl)benzamide 771550-84-6P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
methoxybenzamide 771550-86-8P, 2-Bromo-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771550-88-0P, 2-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771550-90-4P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-2-
fluorobenzamide 771550-92-6P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-2-methylbenzamide
771550-94-8P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-2-(trifluoromethyl)benzamide 771550-96-0P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluoro-3-(trifluoromethyl)benzamide 771550-98-2P,
4-Bromo-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-methylbenzamide 771551-00-9P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
```

```
ethoxybenzamide 771551-02-1P, 3-(Dimethylamino)-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-04-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-fluoro-3-methylbenzamide 771551-06-5P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluoro-4-methylbenzamide 771551-08-7P, N-[cis-4-[[4-
(Dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl] -3-ethylbenzamide
771551-12-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-ethoxybenzamide 771551-14-5P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
isopropoxybenzamide 771551-16-7P, N-[cis-4-[[4-(Dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-diethoxybenzamide
771551-18-9P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)benzamide
771551-20-3P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)benzamide
771551-22-5P, 3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]-4-fluorobenzamide
771551-24-7P, 3,5-Dibromo-N-[cis-4-[[4-(dimethylamino)-5-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771551-26-9P,
N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-
dimethylbenzamide 771551-28-1P, 4-Chloro-N-[cis-4-[[4-
(dimethylamino) -5-methylpyrimidin-2-yl]amino]cyclohexyl]-3-methylbenzamide
771551-30-5P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)benzamide
771551-32-7P, N-[cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-methylbenzamide 771551-34-9P,
3-Chloro-N-[cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-
yl]amino]cyclohexyl]benzamide 771551-56-5P, N-[cis-4-[[4-
(Dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-58-7P, 4-Butyl-N-[cis-4-[[4-(dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771551-60-1P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluorobenzamide 771551-62-3P, N-[cis-4-[[4-(Dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]-3-(trifluoromethyl)benzamide
771551-64-5P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-2-methoxybenzamide 771551-66-7P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
methoxybenzamide 771551-68-9P, 3-Cyano-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-70-3P, 4-Cyano-N-[cis-4-[[4-(dimethylamino)-6-
methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771551-72-5P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluoro-4-(trifluoromethyl)benzamide 771551-74-7P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluoro-3-(trifluoromethyl)benzamide 771551-76-9P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
fluoro-5-(trifluoromethyl)benzamide 771551-78-1P,
3-Chloro-N-[cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-4-fluorobenzamide 771551-80-5P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
fluoro-3-methylbenzamide 771551-82-7P, N-[cis-4-[[4-
(Dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-fluoro-4-
methylbenzamide 771551-84-9P, 3,5-Dichloro-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
771551-86-1P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
yl]amino]cyclohexyl]-3-(trifluoromethoxy)benzamide 771551-88-3P,
N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-
difluorobenzamide 771551-90-7P, 4-Bromo-N-[cis-4-[[4-
(dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-methylbenzamide
```

```
771551-92-9P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
    yl]amino]cyclohexyl]-3-ethylbenzamide 771551-94-1P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
    ethoxybenzamide 771551-96-3P, N-[cis-4-[[4-(Dimethylamino)-6-
    methylpyrimidin-2-yl]amino]cyclohexyl]-4-(trifluoromethyl)benzamide
    771551-98-5P, 4-Bromo-N-[cis-4-[[4-(dimethylamino)-6-
    methylpyrimidin-2-yl]amino]cyclohexyl]benzamide 771552-00-2P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-4-
    ethylbenzamide 771552-02-4P, N-[cis-4-[[4-(Dimethylamino)-6-
    methylpyrimidin-2-yl]amino]cyclohexyl]-3,5-diethoxybenzamide
    771552-04-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
    yl]amino]cyclohexyl]-3-ethoxybenzamide 771552-06-8P,
    N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
    isopropoxybenzamide 771552-14-8P, N-[cis-4-[[4-(Dimethylamino)-6-
    methylpyrimidin-2-yl]amino]cyclohexyl]-4-methoxy-3-
     (trifluoromethyl)benzamide 771552-16-0P, 4-Chloro-N-[cis-4-[[4-
     (dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
     (trifluoromethyl)benzamide 771552-18-2P, N-[cis-4-[[4-
     (Dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-methylbenzamide
    771552-20-6P, N-[cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-
    yl]amino]cyclohexyl]-3,4,5-trimethoxybenzamide 771552-22-8P,
    N-[4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl]-3-
    nitrobenzamide 771552-26-2P, 3,4-Dichloro-N-[cis-4-[[4-
     (dimethylamino) -6-methylpyrimidin-2-yl]amino]cyclohexyl]benzamide
    771553-00-5P, 3,4-Dichloro-N-[cis-4-[[5-methyl-4-
     (methylamino)pyrimidin-2-yl]amino]cyclohexyl]benzamide
    771555-36-3P, N-[cis-4-(4-Dimethylamino-5-methylpyrimidin-2-
    ylamino)cyclohexyl]-3-methoxybenzamide hydrochloride 771555-45-4P
    , 3-Chloro-N-[cis-4-(4-dimethylamino-6-methylpyrimidin-2-
    ylamino)cyclohexyl]benzamide hydrochloride 771556-86-6P,
    3-Chloro-N-[cis-4-(4-dimethylamino-5-methylpyrimidin-2-ylamino)cyclohexyl]-
    4-fluorobenzamide hydrochloride 771556-89-9P,
    3-Chloro-N-[cis-4-(4-dimethylamino-6-methylpyrimidin-2-ylamino)cyclohexyl]-
    4-fluorobenzamide hydrochloride 771556-90-2P,
    N-{cis-4-(4-Dimethylamino-6-ethylpyrimidin-2-ylamino)cyclohexyl]-3,4-
    difluorobenzamide hydrochloride 771557-07-4P,
    N-[cis-4-[(4-Amino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-3,5-
    bis(trifluoromethyl)benzamide hydrochloride 771557-21-2P,
    N-[cis-4-[[4-Methyl-6-(methylamino)pyrimidin-2-yl]amino]cyclohexyl]-4-
     (trifluoromethoxy) benzamide hydrochloride
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (melanin-concentrating hormone antagonist; preparation of quinolines,
quinazolines,
        and pyrimidines as melanin-concentrating hormone antagonist for treatment
        CNS disorders)
    771543-92-1 CAPLUS
    Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-
    pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA
    INDEX NAME)
```

Relative stereochemistry.

of

RN

CN

RN 771543-93-2 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771543-95-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771552-18-2 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771544-42-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-43-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-44-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

RN 771544-45-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \end{array}$$

RN 771544-46-8 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771544-47-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-48-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

$$\mathsf{Me}_{2}\mathsf{N} \longrightarrow \mathsf{N}$$

RN 771544-49-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771544-50-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771544-68-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 771544-99-1 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771544-98-0 CMF C19 H23 Br2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

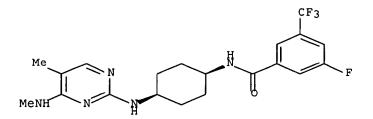
RN 771545-01-8 CAPLUS

CN Benzamide, 3-fluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-5-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-00-7 CMF C20 H23 F4 N5 O

Relative stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-03-0 CAPLUS

CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-02-9 CMF C20 H24 F3 N5 O2

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-04-1 CAPLUS

CN Benzamide, N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771545-06-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-05-2 CMF C20 H25 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 771545-08-5 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-[(1-methylethyl)amino]-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-07-4 CMF C21 H27 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-10-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(cyclopropylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-09-6 CMF C21 H25 F2 N5 O Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-12-1 CAPLUS

CN Benzamide, 3,4-difluoro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-11-0 CMF C19 H23 F2 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-18-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-17-6 CMF C21 H29 N5 O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-23-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 771545-22-3 CMF C22 H28 F3 N5 O

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{2 N} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 771545-80-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771545-83-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(ethylmethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 771546-31-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 771546-33-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-35-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride

(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-37-3 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-39-5 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-41-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-43-1 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-47-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-49-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-51-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-53-3 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry,

RN 771546-55-5 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 771546-57-7 CAPLUS

CN Benzoic acid, 2-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-59-9 CAPLUS

CN Benzoic acid, 3-[[[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

HCl

RN 771546-61-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 771546-63-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-65-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-67-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride

(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-69-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-71-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-73-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-77-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771546-79-3 CAPLUS

CN Benzamide, 3-bromo-4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME).

Relative stereochemistry.

RN 771549-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-30-5 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771549-36-1 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-38-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-40-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771549-42-9 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \\ \end{array}$$

RN 771549-44-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$Me \longrightarrow N$$

$$Me \nearrow N$$

$$Me \nearrow N$$

$$Me \nearrow N$$

RN 771549-46-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-48-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \end{array}$$

RN 771549-50-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-52-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \, \text{N} \\ \end{array}$$

RN 771549-54-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

RN 771549-56-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-58-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-62-3 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 771549-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771549-66-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-68-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

RN 771549-70-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-78-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771549-82-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771549-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5,6-dimethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-50-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-52-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771550-54-0 CAPLUS

CN Benzamide, 3-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-56-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-58-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-60-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,4-difluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771550-62-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,5-difluoro-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-64-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-66-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-68-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

$$Me_{2N} = Me_{2N} + Me_{2N}$$

RN 771550-70-0 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-72-2 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-74-4 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-76-6 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-78-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-80-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \\ \end{array}$$

RN 771550-82-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771550-84-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-86-8 CAPLUS

CN Benzamide, 2-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-88-0 CAPLUS

CN Benzamide, 2-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771550-90-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-92-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771550-94-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\underset{\mathsf{Me}_{2}N}{\mathsf{N}} \underset{\mathsf{N}}{\overset{\mathsf{N}}{\longrightarrow}} \underset{\mathsf{CF}_{3}}{\overset{\mathsf{N}}{\longrightarrow}}$$

RN 771550-96-0 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771550-98-2 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-00-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-02-1 CAPLUS

CN Benzamide, 3-(dimethylamino)-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771551-04-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2N} \\ \end{array}$$

RN 771551-06-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-08-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-12-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

RN 771551-14-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-16-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-18-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CAINDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771551-20-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-22-5 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-24-7 CAPLUS

CN Benzamide, 3,5-dibromo-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-26-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \\ \end{array}$$

RN 771551-28-1 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-30-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-32-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 771551-34-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-56-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-58-7 CAPLUS

CN Benzamide, 4-butyl-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \text{N} \end{array}$$

RN 771551-60-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me}_2 \\ \text{N} \end{array}$$

RN 771551-62-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\mathsf{Me}_2\mathsf{N} = \mathsf{N}$$

RN 771551-64-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-2-methoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-66-7. CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 771551-68-9 CAPLUS

CN Benzamide, 3-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-70-3 CAPLUS

CN Benzamide, 4-cyano-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-72-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 771551-74-7 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771551-76-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-78-1 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-80-5 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-82-7 CAPLUS

CN Benzamide, N-[cis-4-{[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-fluoro-4-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-84-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-86-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 771551-88-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-difluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-90-7 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-92-9 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethyl- (9CI) (CA INDEX NAME)

RN 771551-94-1 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-96-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771551-98-5 CAPLUS

CN Benzamide, 4-bromo-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-00-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 771552-02-4 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,5-diethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-04-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-ethoxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-06-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(1-methylethoxy)- (9CI) (CA INDEX NAME)

RN 771552-14-8 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-methoxy-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-16-0 CAPLUS

CN Benzamide, 4-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-18-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771552-20-6 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 771552-22-8 CAPLUS

CN Benzamide, N-[4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-nitro- (9CI) (CA INDEX NAME)

RN 771552-26-2 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771553-00-5 CAPLUS

CN Benzamide, 3,4-dichloro-N-[cis-4-[[5-methyl-4-(methylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

RN 771555-36-3 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-3-methoxy-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

RN 771555-45-4 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771556-86-6 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-5-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CAINDEX NAME)

Relative stereochemistry.

● HCl

RN 771556-89-9 CAPLUS

CN Benzamide, 3-chloro-N-[cis-4-[[4-(dimethylamino)-6-methyl-2-pyrimidinyl]amino]cyclohexyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771556-90-2 CAPLUS

CN Benzamide, N-[cis-4-[[4-(dimethylamino)-6-ethyl-2-pyrimidinyl]amino]cyclohexyl]-3,4-difluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 771557-07-4 CAPLUS

CN Benzamide, N-[cis-4-[(4-amino-5-methyl-2-pyrimidinyl)amino]cyclohexyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 771557-21-2 CAPLUS
CN Benzamide, N-[cis-4-[[4-methyl-6-(methylamino)-2pyrimidinyl]amino]cyclohexyl]-4-(trifluoromethoxy)-, monohydrochloride
(9CI) (CA INDEX NAME)

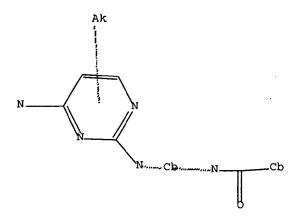
Relative stereochemistry.

● HCl

Serial No.: 10/812,075 Structure Search

=> D QUE L14

L8



STR

Structure attributes must be viewed using STN Express query preparation.

L13 278 SEA FILE=REGISTRY SSS FUL L8

L14 3 SEA FILE=CAPLUS ABB=ON PLU=ON L13

=> S L14 NOT L25

L28 0 L14 NOT L25

=> FILE MARPAT

FILE 'MARPAT' ENTERED AT 10:40:40 ON 05 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 146 ISS 23 (20070601/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

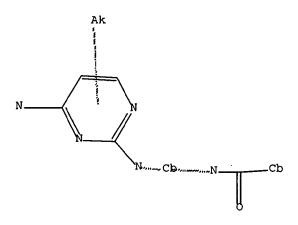
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

2007088073 19 APR 2007 DE 102006048036 12 APR 2007 ΕP 1774957 18 APR 2007 2007103208 19 APR 2007 JΡ 2007047881 26 APR 2007 WO 2430675 04 APR 2007 GB 2891841 13 APR 2007 FR 2296767 10 APR 2007 RU CA 2522632 06 APR 2007

Expanded G-group definition display now available.

=> D QUE L27

L8 STR



Structure attributes must be viewed using STN Express query preparation. L27 24 SEA FILE=MARPAT SSS FUL L8

=> S L27 NOT L25

1 L25

L29

24 L27 NOT L25

=> D IBIB AB QHIT L29 1-24

L29 ANSWER 1 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

146:45539 MARPAT Full-text

TITLE:

Preparation of aminopyridine derivatives as selective

Aurora-A inhibitors for treatment of cancer

INVENTOR(S):

Kato, Tetsuya; Kawanishi, Nobuhiko; Mita, Takashi;

Ohkubo, Mitsuru; Shimomura, Toshiyasu

PATENT ASSIGNEE(S):

Banyu Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 151pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO. DATE									
WO	2006129842		A1		20061207		WO 2006-JP311179 20060530											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NI,	NO,	ΝŻ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	ΚZ,	MD,	RU,	TJ,	TM											

```
WO 2005-JP19957 20051025
     WO 2006046734
                       A2
                          20060504
    WO 2006046734
                       A3
                            20060921
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
             NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
             SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
             YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     US 2006106029
                      A1
                            20060518
                                           US 2005-258447
                                                            20051025
PRIORITY APPLN. INFO.:
                                           JP 2005-161156
                                                            20050601
                                           WO 2005-JP19957 20051025
                                           JP 2004-315152
                                                            20041029
                                           US 2005-692537P 20050621
```

The title compds. I [A1 is (RbjCRbj')m2; A2 is (RaiCRai')m1; A3 is (Y2Rc)n1CO(Y3Rd)n2R; m1 and m2 each is 1, 2, or 3; n1 and n2 each is 0 or 1; i is an integer of 1 to m1; j is an integer of 1 to m2; R is optionally substituted aryl, heteroaryl, or cycloalkyl; Rai and Rai' each is hydrogen, alkyl; Rbj and Rbj' each is hydrogen, alkyl; Rc, Rd, and R1 each is hydrogen, alkyl; X1 is CH, CX1a, N; X1a is (un)substituted alkyl; X2 is CH, N, etc.; X3 is CH, CX3a, N; X3a is (un)substituted alkyl; X4 is CH or N; Y1, Y2, and Y3 are the same or different and each is CH or N; and W is a 5-membered aromatic heterocycle, e.g., pyrazole or thiazole] are prepared Thus, (5-bromothiazol-2-yl)-(6-(4-benzoylpiperazin-1-ylmethyl)pyridin-2-yl)amine was prepared in a multistep process from 2-aminothiazole and 2,6-dichloropyridine. Compds. of this invention showed IC50 values of 0.36 nM to 110 nM against Aurora-A; they showed IC50 values of 47 nM to 28000 nM against Aurora-B.

MSTR 1

$$G1 = 11-9 13-15$$

$$G2 = CH$$
 $G3 = (1-3) 17$

G5 = 20-10 21-16

266-29(0)

G6 = NH

G9 = Ph (opt. substd. by 1 or more G23)

G10 = NH

G11 = N / 31

39----G12

G12 = alkyl <containing 1-6 C> (opt. substd.)

G13 = N / 84

84---G30

G26 = 2-7 4-9



Patent location: disclosure

Note: substitution is restricted

Note: or pharmaceutically acceptable salts or esters

Note: additional oxo formation also disclosed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 146:20277 MARPAT <u>Full-</u>text

TITLE: Method for treating B cell regulated autoimmune

disorders

INVENTOR(S):
Foley, Kevin; Bertin, John; Grant, Ethan P.

PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 327pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2006128172 20061130 WO 2006-US20908 20060526 A2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2007032493 A1 20070208 US 2006-442744 20060526 US 2005-685077P 20050526 PRIORITY APPLN. INFO.:

The invention relates to a method for treating B-cell regulated autoimmune disorders using compds. that modulate the activity of c-Rel. In the examples, it was shown that N-(3-methylbenzylidene)-N'[6-morpholin-4-yl-2- (2-pyridin-2ylethoxy)-pyrimidin-4-yl]hydrazine inhibited the accumulation of c-Rel in the nucleus and its binding to DNA and enhanced the apoptosis of B cells.

MSTR 1

G1

Ģ8—**Ģ**6

G2 = N / 26

26---G13

G3 = 308

3681-3632

G8 = NHG13 = Me G21 = NH= 1409 G22

P-7694-NH-G70-p-C6H4-G71

G70 = C(0)

Patent location:

claim 1
substitution is restricted

Note:

also incorporates claims 43, 83, and 191

additional substitution also claimed

Note:

or pharmaceutically acceptable salts, solvates, clathrates, hydrates, polymorphs, or prodrugs

L29 ANSWER 3 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

146:20264 MARPAT Full-text

TITLE:

Method for treating cancer

INVENTOR(S):

Bertin, John; Grant, Ethan P. Synta Pharmaceuticals Corp., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 354pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIND DATE				APPLICATION NO. DATE										
WO :	WO 2006128129			A2 200			1130		W(O 2006-US20821				20060526			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	zw											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DΕ,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑŻ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										

PRIORITY APPLN. INFO.:

US 2005-685056P 20050526 US 2005-720357P 20050923

AB The invention relates to a method for treating cancers using compds. that modulate the activity of c-Rel.

MSTR 1

Ģ8—Ģ6

G2 = N / 26

26---G13

G3 = 308

368¹ 363²

G8 = NH= Me G13 G21 = NHG22 = 1409

P-C6H4-NH-G70-p-C6H4-G71

G70 = C(0)

Patent location: claim 1

Note: substitution is restricted

Note: also incorporates claims 41, 81, and 189 additional substitution also claimed Note:

or pharmaceutically acceptable salts, solvates, Note:

clathrates, hydrates, polymorphs, or prodrugs

L29 ANSWER 4 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 145:167276 MARPAT Full-text

Preparation of triazolopyrimidine derivatives as TITLE:

serine-tyrosine and tyrosine kinases inhibitors

Ludovici, Donald W.; Connors, Richard W.; Coats, INVENTOR (S):

Steven J.; Liu, Li; De Corte, Bart L.; Johnson, Dana

L.; Schulz, Mark J.

Janssen Pharmaceutica N.V., Belg. PATENT ASSIGNEE(S):

PCT Int. Appl., 97 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ---------------______ WO 2006-US999 20060111 A2 20060720 WO 2006076442

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2007015207 US 2006-329642 A1 20070118 20060111

PRIORITY APPLN. INFO.: US 2005-644466P 20050114 AB Title compds. represented by the formula I [wherein R1 = (un) substituted

alkyl, alkenyl, alkynyl, etc.; R2 = H, (cyclo)alkyl, hydroxy, amino, etc.; R3 = aryl(alkyl), cycloalkyl, quinolinyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as serine-tyrosine and tyrosine kinases inhibitors. For example, II was provided in a multi-step synthesis starting from reaction of 3-dimethylamino-1-propanol with 1-fluoro-4-nitrobenzene. were tested for effects on the tyrosine kinase activity of Focal Adhesion Kinase (FAK) in vitro FAK ELISA kinase assay and CAK (Cyclin Dependent Kinase Activating Kinase) assay.

MSTR 1 ITERATION INCOMPLETE

= 12 / carbocycle <containing 7-11 C, aromatic, G1 6 normalized bonds, bicyclic, (0-1) 3-membered, (0-1) 4-membered, (0-1) 5-membered, (1-2) 6-membered, (0-1) 7-membered rings only> / heterocycle <containing 3-11 atoms, 1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), aromatic, 6 or more normalized bonds, bicyclic, (0-1) 3-membered, (0-1) 4-membered, (0-1) 5-membered, (1-2) 6-membered, (0-1) 7-membered rings only> / (Specifically claimed: 231 / 286)

= phenylene (opt. substd. by G3) G2 = alkyl <containing 1-6 C> / G3 alkoxy <containing 1-6 C> / cycloalkyl <containing 3-7 C> / OH / NH2 / alkylamino <containing 1-6 C> / dialkylamino <each alkyl containing 1-6 C> /

(Specifically claimed: OMe) G4 = alkyl <containing 1-8 C> (opt. substd. by (1-3) G5) / alkenyl <containing 2-8 C> (opt. substd. by G14) / alkynyl <containing 2-8 C> (opt. substd. by G14) / alkoxy <containing 1-8 C> (opt. substd. by (1-3) G15) / 31 / alkoxycarbonyl <containing 1-6 C> (opt. substd. by (1-3) G15) / CONH2 / alkylaminocarbonyl <containing 1-6 C> / dialkylaminocarbonyl <each alkyl containing 1-6 C> / aryl / tetrazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / thiadiazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / oxazolyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / pyrimidinyl (opt. substd. by (1-3) alkyl <containing 1-6 C>) / 37 / (Specifically claimed: 185 / 201 / 200 / 211 / 224 / 241 / Ph / 242 / 247 / 253 / 257 / CONHMe / 269 / OMe / 272 / 289 / 299 / 305 / 317 / 318 / 336 / 350 / 360 / 361 / 370 / 379)

$$280^{-CH2-CH2-CH2-N} \underbrace{\begin{array}{c} \text{Me} \\ \text{Me} \end{array}} 281^{-CH2}\underbrace{\begin{array}{c} \text{Me} \\ \text{21} \end{array}}_{\text{T}} \text{CH} \underbrace{\begin{array}{c} \text{Me} \\ \text{21} \end{array}}_{\text{T}} \text{CH}$$

$$H_{2}G_{7}$$
 — $C(0)-0$ — CH_{2} — Me $_{2}G_{5}$ $O)-0$ — CH_{2} — Me $_{2}G_{7}$ — CH_{2} — Me

$$_{2}$$
 $_{6}$ $_{9}$

$$_{3}$$
 $_{3}$ $_{6}$ $_{9}$ $_{1}$ $_{3}$ $_{6}$ $_{1}$ $_{3}$ $_{6}$ $_{1}$ $_{1}$ $_{1}$ $_{1}$ $_{2}$ $_{3}$ $_{3}$ $_{6}$ $_{1}$ $_{1}$ $_{2}$ $_{3}$ $_{3}$ $_{6}$ $_{1}$ $_{2}$ $_{3}$ $_{3}$ $_{6}$ $_{2}$ $_{3}$ $_{3}$ $_{6}$ $_{2}$ $_{3}$ $_{3}$ $_{6}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$ $_{2}$ $_{3}$ $_{3}$ $_{3}$ $_{3}$ $_{3}$ $_{4}$

$$_{3}$$
Q $_{\overline{0}}$ CH2-CH2-CH2-N $_{Et}$ $_{3}$ Q $_{\overline{1}}$ CH2-CH2-CH2-OH $_{\overline{0}}$ N $_{\overline{0}}$ CH2-CH2-OH $_{\overline{0}}$ N $_{\overline{0}}$

G5 = NH2 / 14 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 20 / 23 / alkoxycarbonyl <containing 1-6 C>

$$G6 = NH / 16$$

1⅓----G7

dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms), attached through 1 or more N,
5- to 8-membered monocyclic ring>
(opt. substd. by (1-3) G9) / 18 / OH /
alkoxycarbonyl <containing 1-6 C> / CO2H / aryl /
heterocycle <containing 5-6 atoms, 1-3 heteroatoms, 1-2 N,
0-1 O, 0-1 S (no other heteroatoms), aromatic,
2 or more double bonds, 5- to 6-membered monocyclic ring>
(opt. substd. by (1-3) alkyl <containing 1-6 C>)

1810=0

- G9 = alkyl <containing 1-6 C> /
 alkoxy <containing 1-6 C> / alkyl <containing 1-6 C>
 (substd. by 1 or more aryl) / alkoxycarbonyl <containing 1-6
 C> / CO2H / OH
- G10 = heterocycle <containing 5-8 atoms, 1 or more heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, 5- to 8-membered monocyclic ring> (opt. substd. by (1-3) G9)
- G11 = alkyl <containing 1-6 C>
 (opt. substd. by 1 or more aryl) /
 alkoxycarbonyl <containing 1-6 C> / CO2H / OH
- G12 = heterocycle <containing 1-4 heteroatoms,
 1 or more N, zero or more O, zero or more S (no other
 heteroatoms), attached through 1 or more N, monocyclic>
 (opt. substd. by (1-3) G11)
- G13 = alkyl <containing 1-6 C>
- G14 = aryl / alkoxycarbonyl <containing 1-6 C>
- G15 = NH2 / 27 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 29 / OH
- G16 = NH2 / 33 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 35 / OH

3 G 6 --- G 7 3 G 1 2 -- O

G17 = S(0) / S02

G18 = NH2 / alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms), attached through 1 or more N,

5- to 8-membered monocyclic ring> (opt. substd. by (1-3) G9) / 39

3^{G10=0}

G19 = alkyl <containing 1-6 C> (substd. by G20) /
aryl (opt. substd. by (1-3) G21) /
cycloalkyl <containing 3-7 C> (opt. substd. by (1-3) G22) /
carbocycle <containing 7-11 C, aromatic, 6 normalized bonds,
bicyclic, (0-1) 3-membered, (0-1) 4-membered,
 (0-1) 5-membered, (1-2) 6-membered,
 (0-1) 7-membered rings only> (opt. substd. by 1 or more G24)
 / quinolinyl (opt. substd.) / benzothiazolyl (opt. substd.) /
benzimidazolyl (opt. substd.) / pyrazolyl (opt. substd.) /
72 / 94 / 116 / 144 / 168 / (Specifically claimed: 400 /
Ph (opt. substd. by 1 or more G28) / cyclohexyl /
2-naphthyl / cyclohexyl / 408 / 438 / 447 / 454 / 474 /
CH2Ph / 494 / 504 / cyclopentyl / 516 / 530)

4G6-G7 4G12=0 4G(0)-G16 4G17-G18

G22 = OH / NH2 / 50 / heterocycle <containing 1-4 heteroatoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N, monocyclic> (opt. substd. by (1-3) G11) / 52 / 54 / alkoxycarbonyl <containing 1-6 C> / CO2H / 56

566---G7 5612=0 56(0)-G16 5617--G23

G23 = NH2 / alkylamino <containing 1-6 C> /
dialkylamino <each alkyl containing 1-6 C> /
heterocycle <containing 5-8 atoms, 1 or more heteroatoms,
1 or more N, zero or more O, zero or more S (no other
heteroatoms), attached through 1 or more N,
5- to 8-membered monocyclic ring>
(opt. substd. by (1-3) G9) / 58 / alkyl <containing 1-6 C>

5810=0

G24 = alkyl <containing 1-6 C> /
 alkenyl <containing 2-6 C> / alkynyl <containing 2-6 C> /
 alkoxy <containing 1-6 C> / OH / CN / F / CO2H /
 cycloalkyl <containing 3-7 C> / NH2 / 66 /
 heterocycle <containing 1-4 heteroatoms, 1 or more N,</pre>

zero or more 0, zero or more S (no other heteroatoms),
attached through 1 or more N, monocyclic>
(opt. substd. by (1-3) G11) / 60 / 62 /
alkoxycarbonyl <containing 1-6 C> / 68 / pyrimidinyl /
thiadiazolyl / tetrazolyl / pyrazolyl / oxazolyl

$$\sqrt[3]{2}$$
 $\sqrt[3]{3}$ $\sqrt[3]{4}$ $\sqrt[N]{Me}$

$$G30 = 426 / 455 / 465 / CONHMe / SO2NH2 / 489 / 532$$

Patent location:

Note:

claim 21

or pharmaceutically acceptable salts

L29 ANSWER 5 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 144:450735 MARPAT Full-text Preparation of novel aminopyridine derivatives having TITLE: selective Aurora-A protein kinase inhibitory effect INVENTOR(S): Ohkubo, Mitsuru; Kato, Tetsuya; Kawanishi, Nobuhiko; Mita, Takashi; Shimomura, Toshiyasu PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 148 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _____ ---------_____ WO 2005-JP19957 20051025 WO 2006046734 A2 20060504 **A**3 20060921 WO 2006046734 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2005-258447 20051025 US 2006106029 A1 20060518 WO 2006-JP311179 20060530 WO 2006129842 A1 20061207 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: JP 2004-315152 20041029 JP 2005-161156 20050601 US 2005-692537P 20050621 WO 2005-JP19957 20051025 AB The title compds. (I) or pharmaceutically acceptable salts or ester thereof

The title compds. (I) or pharmaceutically acceptable salts or ester thereof [wherein m1, m2 = 1, 2, 3; n1, n2 = 0, 1; i = an integer of from 1 to m1; j = an integer of from 1 to m2; R = (un)substituted aryl, heteroaryl or cycloalkyl; Rai, Rai', Rbj, Rbj', Rc, Rd, Re = H, lower alkyl; X1 = CH, CX1a, N; wherein X1a = (un)substituted lower alkyl; X2 = CH, N; X3 = CH, N, CX3a; wherein X3a = (un)substituted lower alkyl; X4 = CH, N; 1 or 2 of X1-X4 is N; Y1, Y2, Y3 = CH, N; Z1, Z2 = CH, N; W = a 5-membered aromatic heterocycle of formula Q including pyrazole or thiazole; wherein W1 = CH, N, NH, O, S; W2 = CH, CW2a, N, NW2b, O, S; wherein W2a, W2b = H, halo, cyano, C1-2 alkyl, C3-5 cycloalkyl, 1 or 2 halo-substituted C1-2 alkyl] are prepared These compds. are selective inhibitors of Aurora-A protein kinase over Aurora-B protein

kinase and exhibit synergistic anticancer activity in combination with other anticancer agents. An anticancer agent containing the compound I, and the combined use of the above anticancer agent with another anticancer agent are also disclosed. Thus, a mixture of 2.70 g 6-chloromethyl-N-(thiazol-2-yl)pyridin-2-amine, 4.00 g 1-(3-chloro-2-fluorobenzoyl)piperazine, and 6.25 mL N,N- diisopropylethylamine, and 30 mL DMF was stirred at 90° for 2 h to give, after workup and silica gel chromatog., 6-[(4-(3-chloro-2-fluorobenzoyl)piperazin-1-yl)methyl]-N-thiazol-2-ylpyridin-2-amine (II; R = H). II (R = H) and II (R = 2-methyl-2H-tetrazol-5-yl) showed IC50 of 0.67 and 0.32 nM against Aurora-A protein kinase, resp., and 440 and 190 nM against Aurora-B protein kinase, resp. They showed IC50 of 11.00 and 0.21 μ M against human cervical cancer cell (HeLa S3), resp., and also showed synergistic antiproliferative activity against HeLa S3 cells in combination with paclitaxel.

MSTR 1

$$G14-NH-G26-G10-161-165-169$$

$$G1 = 11-9 13-15$$

$$G2 = CH$$
 $G3 = (1-3) 17$

$$G5 = 20-10 21-16$$

= alkyl <containing 1-6 C> (opt. substd.)

= N / CH G13 G26 = 2-7 4-9



Patent location: claim 1

Note: substitution is restricted

or pharmaceutically acceptable salts or esters Note:

Note: additional oxo formation also disclosed

L29 ANSWER 6 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:226245 MARPAT Full-text

N-Phenyl-2-pyrimidinamine derivatives for the TITLE:

treatment of immunodeficiency disease-causing viral

infections

INVENTOR(S): Zeichner, Steven; Krishnan, Vyjayanthi

PATENT ASSIGNEE(S): ICES the Secretary, Department of Health and Human

Serv Government of the United States, As Represented,

USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent 1	NO.		KI	ND	DATE APPLICATION NO.					٥.	DATE					
									-								
WO	2006	0173	53	A:	2	2006	0216		W	0 20	05-U	S249	22	2005	0713		
WO	2006	0173	53	A.	3	2006	0330										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	ΙĹ,	IN,	IS,	JP,	KE,	KG,	ŔМ,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	zw													
	RW:	AΤ,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑŻ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										

PRIORITY APPLN. INFO.: US 2004-588015P 20040713

The invention discloses treatment of cells or humans carrying or infected with a virus capable of causing an immunodeficiency disease with particular compds., including N-phenyl-2-pyrimidinamine derivs. (Markush included), as well as medicaments comprising those compds. and uses thereof. Compds. of the invention include imatinib mesylate.

MSTR 1A

$$G5$$
 N
 $G5$
 N
 $G30$
 $G6$
 $G7$

G1 = NH2

G5 = loweralkyl

G6 = phenylene (opt. substd. by 1 or more G35)

G7 = 188

G9 = NH

G11 = 0

G13 = bond

G14 = 199

1637-G20

G17 = phenylene (opt. substd. by 1 or more G18)

G30 = NH

Patent location:

claim 1

Note:

or salts

MSTR 1B

$$G5 \longrightarrow G5$$
 $G5 \longrightarrow G30 \longrightarrow G6 \longrightarrow G6 \longrightarrow G5$

G1 = NH2

G5 = loweralkyl

G6 = 492-7 493-491 494-490

G7 = 188

G9 = NH G11 = O G13 = bond G14 = 199

1937-G20

G17 = phenylene (opt. substd. by 1 or more G18)

G30 = NH

Patent location: claim 1
Note: or salts

L29 ANSWER 7 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:153303 MARPAT <u>Full-text</u>

TITLE: A preparation of quinoline derivatives, useful as

intermediates of receptor tyrosine kinase inhibitors

INVENTOR(S): Chew, Warren; Papamichelakis, Maria; Wang, Youchu

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
US 2005159446		20050721	US 2005-36408 20050114	
AU 2005206541			AU 2005-206541 20050114	
CA 2553729			CA 2005-2553729 20050114	
WO 2005070890	A2	20050804	WO 2005-US1384 20050114	
WO 2005070890	A3	20051103		
W: AE, A	, AL, AM	, AT, AU, A	AZ, BA, BB, BG, BR, BW, BY, BZ, CA,	CH,
CN, C	, CR, CU	, CZ, DE, 1	OK, DM, DZ, EC, EE, EG, ES, FI, GB,	GD,
GE, G	, GM, HR	, HU, ID,	IL, IN, IS, JP, KE, KG, KP, KR, KZ,	LC,
LK, L	, LS, LT	, LU, LV, I	MA, MD, MG, MK, MN, MW, MX, MZ, NA,	NI,
•	•		PT, RO, RU, SC, SD, SE, SG, SK, SL,	-
•	•		JA, UG, US, UZ, VC, VN, YU, ZA, ZM,	•
•			MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,	
•	•		rJ, TM, AT, BE, BG, CH, CY, CZ, DE,	
•	•		HU, IE, IS, IT, LT, LU, MC, NL, PL,	
· - • · -			BJ, CF, CG, CI, CM, GA, GN, GQ, GW,	, سالا
•	S, SN, TD	•		
			EP 2005-711511 20050114	
R: AT, B	CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC,	PT,
IE, S	LT, LV	, FI, RO, 1	MK, CY, AL, TR, BG, CZ, EE, HU, PL,	SK,
BA, H	, IS, YU			

20070314 CN 2005-80007748 20050114 CN 1930128 Α NO 2006-3501 NO 2006003501 Α 20060928 20060801 20070525 20060809 IN 2006KN02266 Α IN 2006-KN2266 PRIORITY APPLN. INFO.: US 2004-537329P 20040116 WO 2005-US1384 20050114

OTHER SOURCE(S):

CASREACT 143:153303

The invention relates to a preparation of quinoline derivs. of formula I [wherein: G, R1, and R4 are independently selected from H, halogen, alkyl, alk(en/yn)yl, or hydroxymethyl, etc.; R2 is a leaving group; R3 is a protecting group; A is O, S, NH, or N(alkyl), etc.], useful as intermediates of receptor tyrosine kinase inhibitors (no biol. data). For instance, quinoline derivative II was prepared via intramol. heterocyclization of (phenylamino)propenoic acid amide III in the presence of phosphorus oxychloride.

MSTR 5

193-1964

G14 = 180

1865-3648

G15 = 209-129 213-304

G16 = N G17 = alkyl <containing 1-6 C> (opt. substd. by OH) / N3 / 296

변형 6 - G24-Ph

G18 = 181

1818T821

G21 = 265

G24 = C(0) G28 = NH

Patent location: Note:

claim 20 or salts

Note:

substitution is restricted

L29 ANSWER 8 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 143:115450 MARPAT Full-text

TITLE:

Preparation of phosphodiesterase 4 inhibitors,

including N-substituted diarylamine analogs, useful as

cognition enhancers

INVENTOR(S): Schumacher, Richard; Hopper, Allen; Dunn, Robert;

Kuester, Erik; Tehim, Ashok; Renau, Thomas E.; Caroon,

Joan; Talamas, Francisco; Labadie, Sharada Memory Pharmaceuticals Corporation, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 136 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.		KI	CIND DATE			Al	PPLI(CATI	N NC	o.	DATE					
						20050707 20051013			M	20	04-Ü	5410	68	2004	1210		
	W:	AE, CN, GE, LK, NO, TJ, BW, AZ, EE,	AG, CO, GH, LR, NZ, TM, GH, BY,	AL, CR, GM, LS, OM, TN, GM, KG,	AM, CU, HR, LT, PG, TR, KE, KZ,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR,	DK, IL, MA, PT, UA, MZ, TJ,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IS,	EC, JP, MK, SC, UZ, SL, BE, IT,	EE, KE, MN, SD, VC, SZ, BG, LT,	EG, KG, MW, SE, VN, TZ, CH, LU,	BY, ES, KP, MX, SG, YU, UG, CY, MC, GN,	FI, KR, MZ, SK, ZA, ZM, CZ, NL,	GB, KZ, NA, SL, ZM, ZW, DE, PL,	GD, LC, NI, SY, ZW AM, DK, PT,
CA US EP BR CN	2004 1922 2007	3038 824 2222 109 AT, 0171 144 5139	07 BE, 10	A A A CH, A A	1 1 1 2 LI,	2005 2005 2005 2006 LV, 2007	0707 1006 0823 MK, 0206 0228	CY,	CA UK EAL, BA CA CA UK	A 20 S 20 P 20 BG, R 20 N 20 P 20 S 20	04-2 04-8 04-8 CZ, 04-1	5488. 775 1339. HR 7110 0041. 4394.	24 2 276 3 6P		1210 1210 1210 1210 1210 1210 1211		

AB PDE4 inhibition (no data) is achieved by novel compds., e.g., N-substituted diarylamine analogs (shown as I; variables defined below; e.g. 3-[N-[6-(cyclopropylmethoxy)-5-methoxypyridin-2-yl]-N-[(pyridin-3-

yl)methyl]amino]benzoic acid (shown as II)). For I: A, B and D are each N or CR5; R1 is halogen, alkyl having 1-4 C atoms, halogenated alkyl having 1-4 C atoms, OR6, COR6, CONR6, or NR6COR10; R2 is halogen, alkyl having 1-4 C atoms, halogenated alkyl having 1-4 C atoms, OR7, COR6, CONR6, or NR6COR10; R3 is C1-8 (un)substituted (un)branched alkyl, a partially unsatd. C5-14 carbocycle-alkyl, C7-19 arylalkyl or heteroarylalkyl group; R4 is C3-10 cycloalkyl, C6-14 aryl, heteroaryl having 5-10 ring atoms, a heterocyclic group, a heterocyclealkyl group; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, .apprx.15 example prepns. of I and intermediates are included. For example, N-(3-chlorophenyl)-N-[5-methoxy-6-[((3R)-tetrahydrofuran-3-yl)oxy]pyridin-2-yl]pyridine-3-methanamine was prepared from 6-iodo-3-methoxy-2-[((3R)-tetrahydrofuran-3-yl)oxy]pyridine and 3-chlorophenyl-N-(3-pyridylmethyl)amine.

MSTR 1

$$G3$$
 $G1$
 $G1$
 $G1$
 $G1$
 $G1$
 $G1$

G1 = N / 10

16----G2

G3 = alkyl <containing 1-4 C> (opt. substd. by 1 or more G29) / 12

194-C(0)-G6

G4 = NH

G17 = Ph (opt. substd. by 1 or more G22)

G22 = 125

1936-C(0)-G24

G24 = Ph (opt. substd.)

G26 = NH

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: substitution is restricted

Note: also incorporates claims 2 and 3

L29 ANSWER 9 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

143:1283 MARPAT Full-text

TITLE:

Materials and methods using a synergistic combination of an inhibitor of mammalian Target of Rapamycin (mTOR) and an inhibitor of Platelet-Derived Growth Factor Receptor (PDGF-R) for inhibiting neointimal

hyperplasia

CODEN: PIXXD2

INVENTOR(S):

Hayry, Pekka Juha

PATENT ASSIGNEE(S):

Oy Helsinki Transplantation R & D Ltd., Finland

SOURCE:

PCT Int. Appl., 102 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KII	ND	DATE			A.	PPLI	CATI	N NC	o. :	DATE			
							•	-								
WO 2005	0490	21	A:	1	2005	0602		W	20	04-E	P124	06	2004	1103		
₩:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LU,	MC,	ΝL,	PL,	PT,	RO,
	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
	NE,	SN,	TD,	TG												

PRIORITY APPLN. INFO.:

US 2003-517165P 20031103

The present invention discloses a combination of an inhibitor of a mammalian Target of Rapamycin (mTOR) and an inhibitor of a Platelet-Derived Growth Factor Receptor (PDGF-R) for treating or preventing neointimal hyperplasia. The effect is synergistic and long-lasting. In some embodiments, the mTOR inhibitor comprises rapamycin and the PDGF-R inhibitor comprises imatinib mesylate. The inhibitors may administered in a common mixture or as a sep. composition, they may also be administered in any number of different ways including orally, e.g., by pill, or locally, e.g., by means of a stent coating.

MSTR 2

$$G2$$
 N
 NH
 $G3$

G1 = NH2

G2 = loweralkyl

G3 = 132

194-G6

```
G4
       = phenylene (opt. substd. by G22)
G6
       = 111
G10
       = Ph (opt. substd. by 1 or more G19)
G12
G16
       = NH
                             claim 8
Patent location:
                             substitution is restricted
Note:
                             or salts
Note:
REFERENCE COUNT:
                         8
                                THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L29 ANSWER 10 OF 24 MARPAT COPYRIGHT 2007 ACS on STN
                          142:6552 MARPAT Full-text
ACCESSION NUMBER:
                         Preparation of pyrimidine derivatives possessing
TITLE:
                         cell-cycle inhibitory activity
                         Heaton, David William; Thomas, Andrew Peter
INVENTOR(S):
PATENT ASSIGNEE(S):
                         Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:
                         PCT Int. Appl., 53 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                  KIND DATE
                                            APPLICATION NO. DATE
     PATENT NO.
     ----- ----
                                            -----
                            _____
                                            WO 2004-GB2019 20040512
     WO 2004101564
                     A1
                             20041125
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                           20060322
                                            EP 2004-732342
     EP 1636227
                                                              20040512
                       A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                            JP 2006-530484
                                                              20040512
                             20070118
     JP 2007500738
                      Т
                                            US 2005-556607
                                                              20051114
     US 2006229329
                       A1
                             20061012
PRIORITY APPLN. INFO.:
                                            GB 2003-11274
                                                              20030516
                                            WO 2004-GB2019
                                                              20040512
                        CASREACT 142:6552
OTHER SOURCE(S):
     Title compds. I [A = carbocyclyl, heterocyclyl; R1 = halo, NO2, CN, OH, etc.;
     p = 0-4; R2 = sulfamoyl, etc.; q = 0-2; R3 = halo, NO2, CN, OH, CF3, etc.; n = 0-2; R4-5 = H, halo, NO2, CN, OH, etc.; m = 0-4] are prepared For instance,
```

2-anilino-4-(6,7-dihydro-5H-pyrrolo[1,2-a]imidazol-3- yl)pyrimidine is prepared from 2-anilino-4-[1-(2-oxopyrrolidinyl)-2-(dimethylamino)ethenyl]pyrimidine (preparation given) and ammonium trifluoroacetate (NMP, 140°, 18 h). Selected examples have IC50 in the range of 13-42 nM for Cdk2-Cyclin E kinase. I are useful as antiproliferative agents.

MSTR 1

G1 = phenylene (opt. substd. by (1-4) G2)
G3 = 28 / 31 / 34 / 36 / 43

$$_{2}$$
G6—G9—G12 $_{3}$ G9—G6—G4 $_{3}$ G5—G4 $_{3}$ G6—G9—G13

$$G4 = 74$$

7922-G8

G9 = C(0)

G13 = Ph (opt. substd.)

G15 = NO2 / alkyl <containing 1-3 C>

Patent location:

claim 1 ·

Note: or pharmaceutically acceptable salts or in vivo

hydrolyzable esters

Note: also incorporates claim 12

MSTR 5

G1 = phenylene (opt. substd. by (1-4) G2)

G3 = 28 / 31 / 34 / 36 / 43

 $_{2}$ G6---G9---G12 $_{3}$ G9---G6----G4 $_{3}$ G5---G4 $_{3}$ G6----G9---G13

4G6-G9-G10-G11

G4 = 74

7922-G8

G6 = NH

G8 = 54 / 57 / 62 / 65

G19 - G20 - G99 G19 - G9 - G90 G19 - G21 - G20 - G99 G19 - G21 - G90 G19 - G21 - G90

claim 12

= C(0)G9

= Ph (opt. substd.)

= NO2 / alkyl <containing 1-3 C>

Patent location:

7

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

141:424210 MARPAT Full-text ACCESSION NUMBER:

Preparation of 2-anilino-4-(imidazol-5-yl)pyrimidine TITLE:

derivatives and their use as cdk (cdk2) kinase

inhibitors

INVENTOR(S): Thomas, Andrew Peter

Astrazeneca AB, Swed.; Astrazeneca UK Limited PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                                          -----
                           -----
                    ----
    WO 2004101549
                                         WO 2004-GB2025
                     A1
                           20041125
                                                          20040512
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    EP 1631566
                      A1
                           20060308
                                          EP 2004-732343
                                                           20040512
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
    JP 2006528962
                      Т
                           20061228
                                          JP 2006-530486
                                                           20040512
    US 2007037839
                           20070215
                                          US 2005-556561
                      A1
                                                           20051114
PRIORITY APPLN. INFO.:
                                          GB 2003-11276
                                                           20030516
                                          WO 2004-GB2025
                                                           20040512
```

AB Title compds. I [R1 = halo, NO2, CN, OH, NH2, carboxy, etc.; p = 0-4; R2 = sulfamoyl, etc.; q = 0-2; R3 = halo, NO2, CN, OH, CF3, etc.; n = 0-2; R4 = H, alk(en/yn)yl, cycloalkyl, etc.; R5 = H, halo, NO2, CN, etc.; R6 = H, alkyl, cycloalkyl, Ph, etc.] are prepared For instance, 2-Anilino-4-[1-isopropyl-2-(N-hydroxyiminomethyl)imidazol-5-yl]pyrimidine is prepared from the corresponding aldehyde and hydroxylamine. Selected compds. of the invention exhibit IC50 in the range of 1 mM to 1 nM for CDK2 kinase. I are useful for producing a cell cycle inhibitory (anti cell proliferation) effect.

MSTR 1

G1 = phenylene (opt. substd. by (1-4) G2)
G3 = 28 / 31 / 34 / 36 / 43

$$_{2}G6 - G9 - G12$$
 $_{3}G9 - G6 - G4$ $_{3}G5 - G4$ $_{3}G6 - G9 - G13$

4G6---G9---G10--G11

G4 = 74

7922-G8

G6 = NH

G8 = 54 / 57 / 62 / 65

G19-G20-599 G19-G9-5920 G19-G21-G20-699 G19-G21-G9-6920

= C(0)G9

= Ph (opt. substd.) G13

= NO2 / alkyl <containing 1-3 C>

Patent location:

claim 1

2

Note:

or pharmaceutically acceptable salts or in vivo

hydrolyzable esters

Note:

also incorporates claim 12

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 12 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:314346 MARPAT Full-text

TITLE:

Preparation of quinoline, tetrahydroquinazoline, and

pyrimidine derivatives as MCH antagonist for treatment

of CNS disorders

INVENTOR(S):

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera,

Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple,

Graeme; Zou, Ning

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co. Ltd., Japan; Arena

Pharmaceuticals, Inc.

SOURCE:

Eur. Pat. Appl., 586 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. I	DATE
EP 1464335	A2 20041006	EP 2004-7651 2	20040330
EP 1464335	A3 20070509	•	
R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI,	LT, LV, FI, RO, I	MK, CY, AL, TR, BG, CZ,	EE, HU, PL, SK
US 2005197350	A1 20050908	US 2004-812075 2	20040330
AU 2004226049	A1 20041014	AU 2004-226049 2	20040331
CA 2518913	A1 20041014	CA 2004-2518913 2	20040331
WO 2004087669	A1 20041014	WO 2004-JP4624 2	20040331
W: AE, AG,	AL, AM, AT, AU,	AZ, BA, BB, BG, BR, BW,	BY, BZ, CA, CH,

```
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
    JP 2004300156
                       Α
                            20041028
                                           JP 2004-107965
                                                            20040331
    BR 2004008910
                       Α
                            20060321
                                           BR 2004-8910
                                                            20040331
    CN 1798736
                       Α
                            20060705
                                           CN 2004-80014547 20040331
     IN 2005KN01805
                       Α
                            20061201
                                           IN 2005-KN1805
                                                            20050912
    NO 2005004999
                      Α
                            20051107
                                           NO 2005-4999
                                                            20051027
PRIORITY APPLN. INFO.:
                                           US 2003-458530P 20030331
                                           US 2003-495911P 20030819
                                           US 2003-510186P 20031009
                                           US 2003-530360P 20031216
                                           WO 2004-JP4624
                                                            20040331
```

ΑB Title compds. I, II, and III [wherein R1 = (un) substituted (cyclo) alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un) substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV. TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part I of three in a series covering the patent.

MSTR 1C



G1 = 32-5 34-2

G6 G36 N34

G6 = alkyl <containing 1-5 C>

(opt. substd. by 1 or more G3)

G7 = 58-1 61-3 / 123-1 125-3

 $_{5}$ $_{8}$ 8 $^{-G10}$ $_{6}$ $_{6}$ 8 $^{-G12}$ $_{1}$ $_{2}$ $_{3}$ $^{-1}$ $_{2}$ $_{4}$ $_{1}$ $_{2}$ $_{5}$ $_{5}$

G8 = NH

G10 = 66-58 69-60

66 69

G12 = 121

121 G13

G13 = 0

G16 = m-C6H4Me

G36 = NH2

Patent location: claim 1

Note: substitution is restricted

Note: additional substitution also claimed

L29 ANSWER 13 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:38625 MARPAT Full-text

TITLE: Preparation of Chk-, pdk- and akt-inhibitory

pyrimidines

INVENTOR(S): Bryant, Judi; Kochanny, Monica; Yuan, Shendong; Khim,

Seock-Kuy; Buckman, Brad; Arnaiz, Damian; Boemer, Ulf;

Briem, Hans; Esperling, Peter; Huwe, Christoph; Kuhnke, Joachim; Schaefer, Martina; Wortmann, Lars;

Kosemund, Dirk; Eckle, Emil; Feldman, Richard;

Phillips, Gary

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 293 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                           DATE
                      KIND
                                          APPLICATION NO.
     ------
                      ----
                            -----
                                           -----
    WO 2004048343
                            20040610
                                          WO 2003-EP13443 20031128
                      A1
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    CA 2502970
                      A1
                            20040610
                                          CA 2003-2502970 20031128
    AU 2003288198
                       A1
                            20040618
                                          AU 2003-288198
                                                            20031128
    US 2004186118
                      A1
                            20040923
                                          US 2003-722591
                                                            20031128
    EP 1565446
                      Al
                            20050824
                                          EP 2003-780086
                                                            20031128
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                      Α
                           20051018
                                          BR 2003-16680
                                                          20031128
     CN 1717396
                       Α
                            20060104
                                          CN 2003-80104544 20031128
    JP 2006508997
                       Т
                                          JP 2004-554522
                           20060316
                                                          20031128
     IN 2005DN01603
                      Α
                            20070202
                                          IN 2005-DN1603
                                                            20050420
    NO 2005003144
                       Α
                            20050627
                                          NO 2005-3144
                                                            20050627
PRIORITY APPLN. INFO.:
                                          EP 2002-26607
                                                            20021128
                                          WO 2003-EP13443 20031128
```

AB The title compds. [I; A, B = CN, halo, H, OH, etc.; X = 0, (un)substituted NH; R1 = H, halo, CH2OH, alkyl, etc.; R2 = H, (un)substituted NHCO-aryl or alkyl] which are inhibitors of kinases useful as medications for treating various diseases, were prepared E.g., a multi-step synthesis of 5-bromo-4-[2-(1H-imidazol-4-yl)ethylamino]-2-(4-pyrrolidin-1- ylmethylphenylamino)pyrimidine, starting from 5-bromouracil, was given. Biol. data for inhibition of Akt-2, Chk-1, and VEGFR-II (KDR) were given. The pharmaceutical composition comprising the compds. I is claimed.

MSTR 1

G1 = 302

ы́ В 2 — С (О) — G 4 3

G25 = alkyl <containing 1-6 C>

G28 = 136

G42 = 266-7 267-10 268-9

= Ph G43

Patent location:

claim 1

Note:

and isotopes, solvates, polymorphs, or

pharmaceutically acceptable salts

Note:

additional oxo group substitution and ring

formation also claimed

Stereochemistry:

or diastereomers or enantiomers

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 24 MARPAT COPYRIGHT 2007 ACS on STN 137:201334 MARPAT Full-text ACCESSION NUMBER:

2

TITLE:

Preparation of N-phenyl 4-heterocyclylpyrimidin-2-

amines for inhibition of cell-proliferation

INVENTOR(S):

Thomas, Andrew Peter

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 76 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
		WO 0000 GD 603
WO 2002066481	AI 20020829	WO 2002-GB603 20020212
W: AE, AG,	AL, AM, AT, AU, AZ	, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE, DK, DM	, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR,	HU, ID, IL, IN, IS	, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT,	LU, LV, MA, MD, MG	, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT,	RO, RU, SD, SE, SG	, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG,	US, UZ, VN, YU, ZA	, ZM, ZW
RW: GH, GM,	KE, LS, MW, MZ, SD	, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE,	DK, ES, FI, FR, GB	, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ,	CF, CG, CI, CM, GA	, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2438646	A1 20020829	CA 2002-2438646 20020212
AU 2002231960	A1 20020904	AU 2002-231960 20020212
EP 1362050	A1 20031119	EP 2002-712053 20020212
EP 1362050	B1 20050202	
R: AT, BE,	CH, DE, DK, ES, FR	, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI,	LT, LV, FI, RO, MK	, CY, AL, TR

BR	2002007294	Α	20040302	BR	2002-7294	20020212
JP	2004521916	T	20040722	JP	2002-565995	20020212
CN	1524081	Α	20040825	CN	2002-808167	20020212
AT	288436	T	20050215	ΑT	2002-712053	20020212
NZ	527367	A	20050429	NZ	2002-527367	20020212
PT	1362050	T	20050531	PT	2002-712053	20020212
ES	2236494	T 3	20050716	ES	2002-2712053	20020212
ZA	2003006081	A	20041117	ZA	2003-6081	20030806
US	2004097506	A1	20040520	US	2003-467886	20030813
US	6844341	B2	20050118			
NO	2003003635	Α	20030815	NO	2003-3635	20030815
PRIORITY	APPLN. INFO.:			GB	2001-3926	20010217
				WO	2002-GB603	20020212

AB The title compds. [I; ring A = (un)substituted imidazo[1,2-a]pyrazin-3-yl, imidazo[1,2-a]pyrimidin-3-yl, imidazo[1,2-b]pyridazin-3-yl, etc.; R1 = halo, NO2, CN, etc.; n = 0-2; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EB; B = (un)substituted alkyl, Ph, heterocyclyl, etc.; E = a direct bond, O, CO, etc.; q = 0-2], useful as medicaments, particularly medicaments for producing a cell cycle inhibitory (anti-cell-proliferation) effect in a warm-quest-blooded animal, such as man, were prepared and formulated. Thus, treating 2-anilino-4-(imidazo[1,2-b]pyridazin-3-yl)pyrimidine (preparation given) dissolved in thionyl chloride, with chlorosulfonic acid, followed by reaction of the intermediate with methanolic ammonia afforded 64% I [A = imidazo[1,2-b]pyridazin-3-yl; R1, R3 = H; R4 = 4-sulfamoyl]. In general, cyclin E/CDK2 activity possessed by compds. I may be demonstrated at IC50's in range 250 μM to 1 nM.

MSTR 1

G6___G13

G1 = NO2 / alkyl <containing 1-6 C> (opt. substd.)
G4 = 69

G6 = 7

G13 = Ph (opt. substd. by (1-5) G14)

G14 = (0-2) G4

G15 = Ph (opt. substd.)

Patent location: claim 1

Note: or pharmaceutically acceptable salts or

hydrolysable esters

Note: also incorporates claim 14, formulas III, IV, VI,

and IX

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 15 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 137:201324 MARPAT Full-text

TITLE: Preparation of 4-(imidazo[1,2-a]pyrid-3-

yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines

for the treatment of GSK3-related disorders

INVENTOR(S):
Berg, Stefan; Bhat, Ratan; Hellberg, Sven

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
                                        -----
                    ----
                                         WO 2002-SE270
    WO 2002066480
                    A2
                          20020829
                                                         20020218
    WO 2002066480
                    A3 20040401
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
            GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
            GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                        CA 2002-2435177 20020218
                          20020829
    CA 2435177
                     A1
                                         AU 2002-232346
    AU 2002232346
                     A1
                          20020904
                                                         20020218
                          20040120
                                         BR 2002-7096
                                                         20020218
    BR 2002007096
                     Α
                                         EP 2002-712572
                                                         20020218
                          20040602
    EP 1423388
                     A2
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004522777
                                         JP 2002-565994
                                                         20020218
                    Т
                         20040729
                                        NZ 2002-527009
                                                         20020218
    NZ 527009
                          20060428
                     Α
    CN 1823064
                     Α
                          20060823
                                         CN 2002-805252
                                                         20020218
                        20041108
                    Α
    ZA 2003006175
                                         ZA 2003-6175
                                                         20030808
    NO 2003003677
                    Α
                         20031002
                                         NO 2003-3677
                                                         20030819
    US 2004106574
                    A1
                          20040603
                                         US 2003-468605
                                                         20030819
    US 7078410
                     B2
                          20060718
PRIORITY APPLN. INFO.:
                                         US 2001-269903P 20010220
                                         WO 2002-SE270
                                                         20020218
```

AB The title compds. [I; ring A = imidazo[1,2-a]pyrid-3-yl or pyrazolo[2,3-a]pyrid-3-yl; R2 = halo, NO2, CN, etc.; m = 0-5; R1 = halo, NO2, CN, etc.; n = 0-2; ring B = Ph, Ph fused to cycloalkyl; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EA (A = H, alkyl, Ph, etc.; E = a direct bond, O, CO, etc.); q = 0-2], useful in the treatment and/or prophylaxis of conditions associated with glycogen synthase kinase-3, were prepared and formulated. Thus, reacting 3-chloroaniline with 4-(2-methylimidazo[1,2-a]pyrid-3-yl)-2-

methylthiopyrimidine (preparation given) in the presence of NaH in NMP afforded 21% II. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,100 nM in human GSK3 β assay.

MSTR 1

G4 = NO2 / alkyl <containing 1 or more C>

(opt. substd.)

G6 = 50

5G20-G9

G9 = 93

9G17-9G19

G17 = 95-50 96-94

9G18-9G(0)

G18 = NH

G19 = Ph (opt. substd.)

G20 = phenylene (opt. substd. by 1 or more G7)

Patent location:

Note: or pharmaceutically acceptable salts

L29 ANSWER 16 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 136:247599 MARPAT Full-text

TITLE: Preparation of imidazolo-5-yl-2-anilino-pyrimidines as

agents for the inhibition of the cell proliferation

INVENTOR(S): Breault, Gloria Anne; Newcombe, Nicholas John; Thomas,

Andrew Peter

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO.
                                                           DATE
                     ----
                           -----
                                          -----
     -----
    WO 2002020512
                           20020314
                                          WO 2001-GB3864
                                                           20010830
                      A1
    WO 2002020512
                      Α9
                           20040506
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
             GQ, GW, ML, MR, NE, SN, TD, TG
    CA 2417148
                      A1
                           20020314
                                          CA 2001-2417148 20010830
    AU 200184192
                           20020322
                                          AU 2001-84192
                                                           20010830
                      Α
    BR 2001013496
                           20030701
                                          BR 2001-13496
                                                           20010830
                      Α
    EP 1351958
                      A1
                           20031015
                                          EP 2001-963159
                                                           20010830
    EP 1351958
                      В1
                           20040616
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                     A2 20031229
                                          HU 2003-2922
                                                           20010830
    HU 200302922
    HU 200302922
                      A3
                           20070228
                                          JP 2002-525133
    JP 2004508365
                      Т
                           20040318
                                                           20010830
    JP 3523641
                      B2
                           20040426
                      Т
                                          AT 2001-963159
                                                           20010830
    AT 269327
                           20040715
                                          NZ 2001-523787
                                                           20010830
    NZ 523787
                      Α
                           20040924
                     Т
                                          PT 2001-963159
                                                           20010830
     PT 1351958
                           20040930
                     T3 20050116
                                          ES 2001-1963159 20010830
     ES 2221904
                                          EE 2003-88
     EE 200300088
                     Α
                          20050215
                                                           20010830
                      C2
                                          RU 2003-109612
                                                           20010830
    RU 2284327
                           20060927
                                          TW 2001-90122494 20010911
     TW 242559
                      В
                           20051101
                                                           20030122
     ZA 2003000612
                      Α
                           20040422
                                          ZA 2003-612
                         20031031
     BG 107579
                                          BG 2003-107579
                                                           20030221
                      Α
                                          NO 2003-1006
                                                           20030304
    NO 2003001006
                      Α
                         20030304
     US 2004014776
                      A1 20040122
                                          US 2003-363655
                                                          20030304
     US 6969714
                      B2
                          20051129
     HK 1057553
                      A1
                           20041231
                                          HK 2004-100403
                                                           20040119
     US 2006004033
                      A1
                           20060105
                                          US 2005-169197
                                                           20050629
                                          GB 2000-21726
                                                           20000905
PRIORITY APPLN. INFO.:
                                          WO 2001-GB3864
                                                           20010830
                                          US 2003-363655
                                                           20030304
AB
     Title compds. I [R1 = halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl,
     mercapto, alk(en/yn)yl, alkoxy; p = 0-4; R2 = sulfamoyl, Ra-Rb; q = 0-2; p + q
     = 0-5; R3 = halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy,
     amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy,
     alkanoyl, etc.; n = 0-2, R4 = H, alk(en/yn)yl, cycloalkyl, Ph, etc.; R5-6 = H,
     halo, nitro, cyano, hydroxy, trifluoromethoxy, amino, carboxy, carbamoyl,
     mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, etc.; Ra = alk(en/yn)yl,
```

MSTR 1

cycloalkyl, Ph, heterocyclyl, phenyl-alkyl, etc.; Rb = C(0), amido, carboxamido, etc.] were prepared For instance, phenylguanidine hydrogen

carbonate was condensed with 5-(3-dimethylaminoprop-2-en-1-oyl)-1-methylimidazole (i-PrOH, NaOMe, reflux, 3 h) to give II in 64% yield.

CDK2 inhibitory activity of II was measured as IC50 = 0.146 μM .

```
= Ph (opt. substd. by 1 or more G2)
G1
```

G2 = (up to 2) G4 = 11 / 13 / 18 G4

197---G8---G5 198---G7----G5 196---G5

= Ph (opt. substd.) G5

= NH G7

G8 = C(0)

G12 = NO2 / alkyl <containing 1 or more C>

(opt. substd.)

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts or in vivo

hydrolysable esters

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

134:193444 MARPAT Full-text

TITLE:

Preparation of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of

CDK2, CDK4, and CDK6 cell cycle kinases.

Thomas, Andrew Peter; Breault, Gloria Anne; Beattie, INVENTOR(S):

John Franklin; Jewsbury, Phillip John

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited PCT Int. Appl., 81 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE					
WO 2001014375	A1 20010301	WO 2000-GB3139	20000815					
W: AE, AG,	AL, AM, AT, AU,	AZ, BA, BB, BG, BR, BY,	CA, CH, CN, CR,					
CU, CZ,	DE, DK, DM, DZ,	EE, ES, FI, GB, GD, GE,	GH, GM, HR, HU,					
ID, IL,	IN, IS, JP, KE,	KG, KP, KR, KZ, LC, LK,	LR, LS, LT, LU,					
LV, MA,	MD, MG, MK, MN,	MW, MX, NO, NZ, PL, PT,	RO, RU, SD, SE,					
SG, SI,	SK, SL, TJ, TM,	TR, TT, TZ, UA, UG, US,	UZ, VN, YU, ZA, ZW					
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,					
DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL,	PT, SE, BF, BJ,					
CF, CG,	CI, CM, GA, GN,	GW, ML, MR, NE, SN, TD,	TG					
CA 2376293	A1 20010301	CA 2000-2376293	20000815					
BR 2000013476	A 20020430	BR 2000-13476	20000815					

EP	1214318 1214318		A:	Ĺ	2002	0619	EP 2000-953319			9	20000815						
EP	12143	18		B.	L	2003	1008										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	\mathtt{AL}							
HU	20020	2494	1	A2	2	2002	1028		HU	20	02-2	494		2000	0815		
JP	20035	0747	78	T		2003	0225		JP	20	01-5	1870	6	2000	0815		
AU	75763	9		B	2	2003	0227		AU	20	00-6	5833		2000	0815		
EE	20020	0080)	Α		2003	0616		EE	20	02-8	0		2000	0815		
AT	25162	3		T		2003	1015		ΑT	20	00-9	5331	9	2000	0815		
PT	12143	18		T		2004	0227		PT	20	00-9	5331	9	2000	0815		
ES	22083	97		T.	3	2004	0616		ES	20	00-9	5331	9	2000	0815		
NZ	51674	0		Α		2004	0924		NZ	20	00-5	1674	0	2000	0815		
RU	22489	76		C:	2	2005	0327		RU	20	02-1	0712	8	2000	0815		
ZA	20020	0002	28	Α		2003	0402		ZA	20	02-2	8		2002	0102		
IN	2002M	N 000	27	Α		2005	0318		IN	20	02-M	N27		2002	0109		
BG	10638	3		A		2002	0930		BG	20	02-1	0638	3	2002	0204		
NO	20020	0083	32	A		2002	0412		NO	20	02-8	32		2002	0220		
NO	32281	8		B	1	2006	1211										
US	68557	19		B	1	2005	0215		US	20	02-6	9019		2002	0221		
HK	10455	10		A:	1	2004	0319		HK	20	02-1	0700	2	2002	0925		
PRIORITY	APPL	N. :	INFO	.:					GB	19	99-1	9778		1999	0821		
									WO	20	00-G	B313	9	2000	0815		

Title compds. [I; A = imidazo[1,2a]pyrid-3-yl, pyrazolo[2,3a]pyrid-3-yl; R1 = AB halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, Ph, heterocyclyl, etc.; R2 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, SH, carbamoyl, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxyl, Ph, heterocyclyl, PhS, etc.; R3 = halo, NO2, cyano, OH, amino, CO2H, carbamoyl, SH, sulfamoyl, alkenyl, alkynyl; m = 0-5; n = 0-2; Ring B = Ph or Ph fused to a C5-7 cycloalkyl ring; p = 0-4; R4 = AE; A = (substituted) alkyl, Ph, heterocyclyl, cycloalkyl, phenylalkyl, heterocyclylalkyl, cycloalkylcycloalkyl; E = bond, O, CO, CO2, NRaCO, NRa, S, SO, SO2, SO2NRa; q = 0-2; $p+q \le 5$], were prepared Thus, NaH was added to 3-chloroaniline in N-methylpyrrolidone; after 30 min. 4-(2methylimidazo[1,2-a]pyridin-3-yl)-2-methylthiopyrimidine (preparation given) in N-methylpyrrolidone was added and the mixture was heated at 150° for 3 h to give 21% 2-(3-chloroanilino)-4-(2-methylimidazo[1,2-a]pyrid-3- yl)pyrimidine. 2-[4-(2-Diethylaminoethoxy)anilino]-4-(imidazo[1,2-a]pyrid- 3-yl)pyrimidine showed CDK2 inhibitory activity with IC50 = 0.17 μ M.

MSTR 1

```
G1 = NO2 / alkyl <containing 1 or more C>
(opt. substd.)
G6 = Ph (opt. substd. by (1-4) G7)
G7 = (up to 2) G9
```

G9 = 59

5G15-C(0)-G14

G14 = Ph (opt. substd.)

G15 = NH

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts or in vivo

hydrolysable esters

MSTR 3

G20_G6

G1 = NO2 / alkyl <containing 1 or more C>

(opt. substd.)

G6 = Ph (opt. substd. by (1-4) G7)

G7 = (up to 2) G9

G9 = 59

5G15-C(0)-G14

G14 = Ph (opt. substd.)

G15 = NH

G20 = 7

 $GI \longrightarrow NH$

Patent location:

claim 9

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 18 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

132:347593 MARPAT Full-text

TITLE:

Pyrimidinylbenzimidazole and triazinylbenzimidazole derivatives and agricultural/horticultural fungicides Shibata, Masaru; Kawai, Kiyoshi; Makihara, Takechi;

INVENTOR(S):

Yonekura, Norihisa; Kawashima, Takahiro; Sakai,

Junetsu; Muramatsu, Norimichi

PATENT ASSIGNEE(S):

Kumiai Chemical Industry Co., Ltd., Japan; Ihara

Chemical Industry Co., Ltd.

SOURCE:

PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

								APPLICATION NO. DATE										
		2000													1999	1115		
		W:	ΑE,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
			IN,	IS,	JP,	ΚE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,
			MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,
			SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW		
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DΕ,
			DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
į	JP	2000	2121	81	Α		2000	0802		J!	P 19	99-3	2206	9	1999	1112		
		2350																
I	BR	9915	401		Α		2001	0814		Bl	R 19	99-1	5401		1999	1115		
. 1	EΡ	1132	387		A	1	2001	0912		El	P 19	99-9	7221	2	1999	1115		
I	EΡ	1132																
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							FI,											
F	UH	2001	0417	1	A:	2	2002	0228		H	J 20	01-4	171		1999	1115		
7	ΓR	2001	0138	1	T	2	2002	0521		TI	R 20	01-2	0010	1381	.1999	1115		
7	ΔU	7555	38		B	2	2002	1212		Αl	J 20	00-1	1805		1999	1115		
F	RU	2222	536		C	2									1999			
7	AΤ	3054	65		T		2005	1015		A.	Г 19	99-9	7221:	2	1999	1115		
i	JP	2000	3027	80	Α		2000:	1031		J	P 20	00-3	8498		2000	0216		
		3820																
		6576																
2	ZA	2001	0037!	58	Α		2002	0319		$\mathbf{Z}I$								
		2004								US	5 20	03-3	8369	3	2003	0310		
τ	JS	6872	729		B	2	2005	0329										
PRIOR	ΙΤΊ	APP	LN.	INFO	.:										1998			
															1999			
										W(3 19	99-J	P636	4	1999	1115		
										US	5 20	01-8	3057	8	2001	0508		
		_	-								_	_		_		_		

AB Title compds. I (A = N, CR3; R1, R2 = H, halo, alkyl, alkenyl, etc.; R3 = H, alkyl, alkoxy, halo; X = H, halo, nitro, cyano, etc.; Y = halo, nitro, cyano, alkyl, etc.; n = 0, 1, 2, 3), useful as agricultural/horticultural fungicides, are prepared Thus, reaction of benzimidazole with 2-chloro-4-methoxypyrimidine in DMF in the presence of NaH gave 1-(4-methoxypyrimidin-2-yl)benzimidazole (II). II at 500 ppm gave >80% control against Erysiphe graminis on barley seedlings.

MSTR 2

16----G2

G2 = alkyl <containing 1-6 C>

G3 = dialkylamino <each alkyl containing 1-4 C>

G7 = Ph (opt. substd. by 1 or more G6)

 $\cdot G9 = 30$

ы́Я——С(О)-G7

Patent location:

claim 6

Note:

substitution is restricted

REFERENCE COUNT:

53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 19 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 132:44977 MARPAT Full-text

TITLE:

Benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives and their use

as anticoagulants

INVENTOR(S):

Kochanny, Monica; Morrissey, Michael M.; Ng, Howard P.

PATENT ASSIGNEE(S):

Berlex Laboratories, Inc., USA

SOURCE:

U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 713,066.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

														DATE			
US	6008	234		Α		1999	1228		U	5 19	97-9:	2031	9	1997	0827		
CA	2264	521		Α	1	1998	0319		CZ	A 19	97-2	2645	21	1997	0911		
WO	9811	094		Α	1	1998	0319		W	0 19:	97-E	P496	1	1997	0911		
	W:	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,
		LC.	LK.	LR.	LS.	LT.	LU,	LV.	MD.	MG.	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
			-	•	-									TT,			
			YU,		55,	J_,	20,	0-,	221,	,	,	,	,	,	,	,	,
	DW.				N/ITA7	CD	C7	IIC	7 W	ידי ע	DE	CU	ישת	DK,	EC	DТ	ED.
	RW:	•	•	•	•		•			-	-						
		•	•	•	•		•	•	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,
		•		•	•	•	TD,										
AU	9743	843		Α		1998	0402		Αl	J 19	97-4	3843		1997	0911		
ΑU	7239	99		В	2	2000	0907										
EP	9295	47		Α	1	1999	0721		E	P 19	97-9	4201	5	1997	0911		
ΕP	9295	47		В	1	2002	1127										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE.	SI,	LT.	LV,	FI,	RO										
CN	1234								C	N 19	97-1	9866	4	1997	0911		
														1997			
	9903								-			- 					
									т.	D 10	90_5	1225	7	1997	0911		
									J.	г тэ	70-5	1323	,	エンフィ	UJII		
JΡ	3565	864		В	2	2004	0712										

AT	228513	T	20021215	AT	1997-942015	19970911
PT	929547	T	20030331	PT	1997-942015	19970911
ES	2188979	Т3	20030701	ES	1997-942015	19970911
KR	2000036017	Α	20000626	KR	1999-701989	19990310
NO	9901206	Α	19990511	NO	1999-1206	19990311
MX	9902396	A	20000331	MX	1999-2396	19990311
US	6177473	B1	20010123	US	1999-439065	19991112
US	6232325	B1	20010515	US	1999-438354	19991112
US	6265404	Bl	20010724	US	1999-438270	19991112
CN	1338454	A	20020306	CN	2001-121736	20010703
PRIORITY	APPLN. INFO.:			US	1996-713066	19960912
-				US	1997-920319	19970827
				WO	1997-EP4961	19970911

AB Benzamidine derivs. substituted by cyclic amino acid and cyclic hydroxy acid derivs. are provided which are useful as anticoagulants. Also disclosed are pharmaceutical compns. containing the compds. of the invention, and methods of using the compds. to treat disease-states characterized by thrombotic activity.

MSTR 1

$$\begin{array}{c} G11 \\ HN = G_{45} \\ 2G_{8} = G_{15} = G_{1} \\ G41 = G_{41} \\ G41 = G_{41} \\ G26 \end{array}$$

$$G1 = 11$$

$$G2 = Ph$$
 $G8 = 37$

$$G15 = 59-7 58-45 57-23$$

G23 = alkyl <containing 1-6 C>

(opt. substd. by 1 or more G18)

G26 = 255

2537-G28-G29-G30

G27 = NH

G41 = (1) N / 294

294---G23

Derivative:

and pharmaceutically acceptable salts

Patent location:

claim 1

Stereochemistry:

or stereoisomers or salts

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 20 OF

L29 ANSWER 20 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

131:37806 MARPAT Full-text

TITLE:

Pyrimidine compound dye and thermal-transfer printing

material and ink-jet printing liquid using same

INVENTOR(S):

Ohya, Hidenobu; Kida, Shuji; Kaneko, Manabu

PATENT ASSIGNEE(S):

Konica Co., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 11152417 A 19990608 JP 1997-336535 19971120

PRIORITY APPLN. INFO.: JP 1997-336535 19971120

AB The title dye has the general formula B:DA [A = pyrimidine ring having at least NR1R2 as a substituent, A links to D at the C atom in the pyrimidine ring; B = coupler component which links to D at its active point; D = N or CH; R1, R2 = H, (substituted) alkyl, (substituted) aryl, (substituted) heterocycle, R1 and R2 may link each other to form a ring]. A thermaltransfer printing material possessing a layer containing the dye on a support and an ink-jet printing liquid containing the dye are also claimed. The material and the printing liquid produce light-fast images.

MSTR 1

G1 = 5-2 7-4

G2 = NH2 G4 = 141

G5 = N G6 = Me

G18 = Ph Patent location:

claim 1

L29 ANSWER 21 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

128:230376 MARPAT Full-text

TITLE:

Benzamidine derivatives substituted by cyclic amino acid or cyclic hydroxy acid derivatives, and their use

as anticoagulants

INVENTOR(S):

Kochanny, Monica; Morrissey, Michael M.; Ng, Howard P.

PATENT ASSIGNEE(S):

Schering A.-G., Germany

SOURCE:

PCT Int. Appl., 79 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	CENT	NO.			ND	DATE			Al	PPLI(CATI	ON NO	ο.	DATE			
WO	9811	094				1998	0319		W	0 19:	97-E	P496	1	1997	0911		
	W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG;	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	ΙL,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	UG,	UZ,
		VN,	YU,	ZW													
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
		GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
		GN,	ML,	MR,	ΝE,	SN,	TD,	TG									
US 6008234			Α		1999	1228		U:	S 19	97-92	2031	9	1997	0827			
CA	2264	521		Α	1	1998	0319		C	A 19	97-2	2645	21	1997	0911		
ΑU	9743	843		Α		1998	0402		A	J 19	97-43	3843		1997	0911		
AU 723999		B	2	2000	0907												
EP 929547		Α					EP 1997-942015 19970911										
EP 929547		В	1	2002	1127												
	R:	•		•		DK, FI,		FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

JP	2001500147	T	20010109	JP	1998-513257	19970911
. JP	3565864	B2	20040915			
AT	228513	T	20021215	AT	1997-942015	19970911
NO	9901206	Α	19990511	NO	1999-1206	19990311
MX	9902396	Α	20000331	MX	1999-2396	19990311
PRIORIT	Y APPLN. INFO.:			US	1996-713066	19960912
				US	1997-920319	19970827
				WO	1997-EP4961	19970911

AB The invention is directed to benzamidine derivs. substituted by cyclic amino acid and cyclic hydroxy acid derivs., which are represented by seven general formulas, e.g., I [A = CR8 or N; Z1, Z2 = 0, NR9, S, S(0), S(0)2, or OCH2; R1,R4 = H, halo, alkyl, NO2, OR9, CO2R9, NR9R10 or derivs.; R2 = C(:NH)NH2, C(:NH)NHOR9, C(:NH)NHCO2R12, C(:NH)NHCOR9, etc.; R3 = H, alkyl, halo, haloalkyl, NO2, ureido, guanidino, OR9, C(:NH)NH2 or derivs., etc.; R5, R6 = H, halo, alkyl, haloalkyl, NR9R10, CO2R9, etc.; R7 = NR9(CR9R10)0-4R13, O(CR9R10)0-4R13, or NR14R15; R8 = H, alkyl, halo; R9, R10 = H, alkyl, (un) substituted aryl or aralkyl; R12 = alkyl, (un) substituted aryl or aralkyl; R13 = (un)substituted carbocycle; R13, NR14R15 = (un)substituted heterocycle]. The compds. are useful as anticoagulants. This invention is also directed to pharmaceutical compns. containing the compds., and their use to treat thrombotic disease states. For example, pentafluoropyridine underwent a sequence of: (1) amination in the 4-position by Et 1-amino-1cyclopentanecarboxylate-HCl (82%); (2) N-methylation of the amino group (65%); (3) etherification in the 2-position with 2-(benzyloxy)-5-cyanophenol (60%); (4) etherification in the 6-position with 3-(1-methylimidazolin-2-yl)phenol; and (5) Pinner reaction of the nitrile with concomitant debenzylation, to give title compound II (isolated as the CF3CO2H salt).

MSTR 1

$$G1 = 10-3 12-5 14-8$$

$$10 \begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \end{array} \\ 14 \end{array} \begin{array}{c} 12 \\ \\ \end{array}$$

$$G2 = 15 / N$$

G3 = alkyl <containing 1-6 C>
 (opt. substd. by 1 or more G8)
G4 = Ph

$$G12 = 72$$

G14 = 90-3 89-84 88-1



G16 = 215



G17 = 220

2560)-G4

G24 = 268-4 274-259

2631-2638-629-718-2740)

G31 = 289

289--G33

Derivative:

or pharmaceutically acceptable salts

claim 1 Patent location:

Note:

substitution is restricted

single stereoisomer or mixture

 ${\tt Stereochemistry:}$ REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 22 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 119:273400 MARPAT Full-text

3

TITLE: INVENTOR(S):

Continuous reaction of halopyrimidines with amines Arnold, Siegbert; Frosch, Hans Georg; Hoppe, Manfred;

Muellers, Wolfgang; Sommer, Richard

PATENT ASSIGNEE(S):

Bayer A.-G., Germany

SOURCE:

Eur. Pat. Appl., 26 pp.

DOCUMENT TYPE:

CODEN: EPXXDW

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

German

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 542079	A2	19930519	EP 1992-118736	19921102
EP 542079	A 3	19940817		
EP 542079	B1	19970723		
R: CH, DE, I	FR, GB	, LI		
DE 4137291	A1	19930519	DE 1991-4137291	19911113
JP 05222306	Α	19930831	JP 1992-321425	19921106
US 5420255	Α	19950530	US 1994-200865	19940222
PRIORITY APPLN. INFO.	:		DE 1991-4137291	19911113
			US 1992-970897	19921103

AΒ Reactive dyes are obtained by continuous condensation of halopyrimidines with aqueous amine solns. or dispersions using sep. feeding of the reactants, and removal of the product; the reactants are simultaneously added to the reactor with intensive stirring, e.g., at Reynolds number ≥2500. Thus, 9 kg/h 5chloro-2,4,6-trifluoropyrimidine (I) at 20° and 171 L/h aqueous solution at 40° containing 12.9 kg Na 7-amino-4-hydroxy-2- naphthalenesulfonate and 2.1 kg NaF were introduced (with I pressure drop 35 bars) to a jet nozzle reactor and the product at 0° was coupled with diazotized 2-amino-5-methoxybenzenesulfonic acid to give an azo dye. The dye provided clear scarlet shades on cotton.

MSTR 3A

```
G3
       = Ph (opt. substd. by 1 or more G4)
```

G17 = NH

G52 = C(0)

= phenylene (opt. substd. by (up to 2) SO3H)

Patent location: claim 5

MSTR 1

⁼ pyrimidinyl (substd. by 1 or more G6) G5

⁼ NO2 / Me G6

G5___G7

Patent location:

claim 5

MSTR 3A

$$751^{7} - 761^{9} \qquad 751^{7} - 650 - 651 \qquad 762^{7} - 652 - 652 - 652 \qquad 765^{7} - 654 \qquad 765^{2} - 617 - 765^{5}$$

G3 = Ph (opt. substd. by 1 or more G4)

G5 = pyrimidinyl (substd. by 1 or more G6)

G6 = NO2 / Me

G17 = NH

G52 = C(0)

G55 = phenylene (opt. substd. by (up to 2) SO3H)

Patent location: claim 5

MSTR 3B

G3 = 912

= pyrimidinyl (substd. by 1 or more G6) G5

G6 = NO2 / Me

G17 = NH G52 = C(0)

= phenylene (opt. substd. by (up to 2) 1043) G55

SO3- ●H

Patent location: claim 5

L29 ANSWER 23 OF 24 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER:

119:37437 MARPAT Full-text

Silver halide photographic material TITLE:

INVENTOR(S): Kato, Takashi; Hioki, Takanori; Ikeda, Tadashi

Fuji Photo Film Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: Eur. Pat. Appl., 103 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 532042	A1	19930317	EP 1992-115605	19920911
EP 532042	B1	19991222		
R: DE, GB,	NL			
JP 05072662	Α	19930326	JP 1991-261389	19910913
US 5489505	Α	19960206	US 1995-397725	19950302
PRIORITY APPLN. INFO	. :		JP 1991-261389	19910913
			US 1992-943674	19920911
			US 1993-150793	19931112

The title photog. material contains a special bridge group-bearing cyanine dye AB I [Z1, Z2 = atoms necessary to form 5- or 6-membered N-containing ring; Q = atoms necessary to form 5- or 6-membered ring; R1 = alkyl, aryl, heterocyclyl; R2, R3 = alkyl; L1-L6 = methine group; m, n = 0, 1; M = ion necessary to

neutralize elec. charge; p = number necessary to neutralize elec. charge]. The photog. material has high sensitivity and excellent storage stability.

MSTR 2

G1 = 25-1 47-3

$$25$$
 C(0)-NH C(0)-NH C(0)-NH $\frac{1}{3}$

G6 = CH / 1 or more N G8 = alkyl / 187

₩87---G11

Patent location:

claim 8

L29 ANSWER 24 OF 24 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

117:58797 MARPAT Full-text

TITLE:

Silver halide emulsion

INVENTOR(S):

Hioki, Takanori; Matsunaga, Atsushi

PATENT ASSIGNEE(S):

Fuji Photo Film Co., Ltd., Japan

SOURCE:

Eur. Pat. Appl., 146 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

Engile

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT N	0.	KIND	DATE	API	PLICATION NO.	DATE
EP 47404	7	A1	19920311	EP	1991-114082	19910822
EP 47404	7	B1	19960612			
R:	DE, FR, G	B, IT,	NL			
JP 04104	138	Α	19920406	JP	1990-221780	19900823
JP 04104	139	Α	19920406	JP	1990-221783	19900823
JP 27674	90	B2	19980618			
US 52233	89	Α	19930629	US	1991-748600	19910822
EP 64787	8	A2	19950412	EΡ	1994-120560	19910822
EP 64787	8	A3	19970730			

EP 647878 B1 20000112

R: DE, FR, GB, IT, NL

PRIORITY APPLN. INFO.:

JP 1990-221780 19900823 JP 1990-221783 19900823 EP 1991-114082 19910822

AB A photog. emulsion comprises ≥ 1 methine dye represented by the general formula (MET)p[QrAr]s [MET = an atomic group having a methine dye structure; Q = a divalent linking group; p = 1, 2; r = 1-4; q = 0, 1; Ar = an aromatic polycyclic group formed of ≥ 8 atoms containing ≥ 1 N atom, with the proviso that the N atom is in a form such that tautomerism does not produce -NH-. A photog. material is also claimed which contains a photog. emulsion layer comprising Ag halide grains containing Fe ions in an amount of 10-7-10-3 mol/mol Ag halide and having a localized phase with an Fe ion concentration ≥ 10 times that of the other portions. The photog. material exhibits a blue sensitivity difference of ≤ 0.1 between when it is developed after exposure in vacuum under 10-5 torr and when it is developed after exposure in air under 760 torr. The material shows reduced fluctuation of sensitivity during storage.

MSTR 2

$$G1 = 42-767-9 / 67-742-9$$

G2 = alkyl (opt. substd.) / NH2

G5 = 1 or more N / CH

Patent location: claim 3

Serial No.: 10/812,075 Search History

L1		1 SEA ABB=ON PLU=ON US2004-812075/APPS
L2	FILE	'REGISTRY' ENTERED AT 09:48:18 ON 05 JUN 2007 7 SEA ABB=ON PLU=ON (769192-99-6 OR 769193-00-2 OR 769193-01-3 OR 769193-02-4 OR 769193-03-5 OR 769193-04-6 OR 769193-05-7)/
L3		RN 1 SEA ABB=ON PLU=ON 769190-72-9/RN
L4	FILE	'CAPLUS' ENTERED AT 09:50:30 ON 05 JUN 2007 ANALYZE PLU=ON L1 1- RN : 1738 TERMS
L5 L6 L7 L8 L9	FILE	'REGISTRY' ENTERED AT 09:53:14 ON 05 JUN 2007 8 SEA ABB=ON PLU=ON (769190-72-9 OR 1655-07-8 OR 175278-12-3 OR 220996-80-5 OR 223131-01-9 OR 23631-02-9 OR 247570-24-7 OR 31058-81-8)/RN STRUCTURE UPLOADED 2 SEA SSS SAM L6 STRUCTURE UPLOADED 2 SEA SSS SAM L8
L10	FILE	'LREGISTRY' ENTERED AT 10:21:42 ON 05 JUN 2007 0 SEA SSS FUL L8
L11 L12		'BEILSTEIN' ENTERED AT 10:22:14 ON 05 JUN 2007 0 SEA SSS SAM L8 0 SEA SSS FUL L8
L13	FILE	'REGISTRY' ENTERED AT 10:23:30 ON 05 JUN 2007 278 SEA SSS FUL L8
	· '	'CAPLUS' ENTERED AT 10:26:57 ON 05 JUN 2007 3 SEA ABB=ON PLU=ON L13 902 SEA ABB=ON PLU=ON SEKIGUCHI Y?/AU 32 SEA ABB=ON PLU=ON KANUMA K?/AU 21 SEA ABB=ON PLU=ON OMODERA K?/AU 19 SEA ABB=ON PLU=ON BUSUJIMA T?/AU 2458 SEA ABB=ON PLU=ON TRAN T?/AU 9406 SEA ABB=ON PLU=ON HAN S?/AU 54 SEA ABB=ON PLU=ON CASPER M?/AU 757 SEA ABB=ON PLU=ON KRAMER B?/AU 92 SEA ABB=ON PLU=ON SEMPLE G?/AU 95 SEA ABB=ON PLU=ON ZOU N?/AU 3 SEA ABB=ON PLU=ON (L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23 OR L24) AND L14
L26 L27		'MARPAT' ENTERED AT 10:36:37 ON 05 JUN 2007 1 SEA SSS SAM L8 24 SEA SSS FUL L8
L28	FILE	'CAPLUS' ENTERED AT 10:39:22 ON 05 JUN 2007 0 SEA ABB=ON PLU=ON L14 NOT L25
L29	FILE	'MARPAT' ENTERED AT 10:40:40 ON 05 JUN 2007 24 SEA ABB=ON PLU=ON L27 NOT L25